28/03/2007,10541108IIa.trn

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PASSWORD:
* * * * * * RECONNECTED TO STN INTERNATIONAL * * * * * *
SESSION RESUMED IN FILE 'REGISTRY' AT 13:34:21 ON 22 MAR 2007
FILE 'REGISTRY' ENTERED AT 13:34:21 ON 22 MAR 2007
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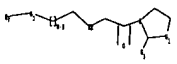
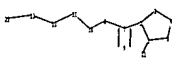
COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.45	175.36

=>
Uploading C:\Program Files\Stnexp\Queries\10541108IIa.str





chain nodes :
7 8 9 10 11 12 13 16 17 21 22
ring nodes :
1 2 3 4 5
chain bonds :
1-21 2-7 7-8 7-9 8-10 10-11 11-12 12-13 13-22 16-17
ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 1-5 1-21 2-3 2-7 3-4 4-5 7-8 7-9 8-10 10-11 11-12 12-13 13-22
16-17

G1:S,CH2

G2:N,[*1]

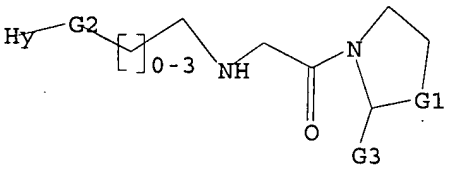
28/03/2007,10541108IIa.trn

G3:H,CN

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 16:CLASS 17:CLASS 21:CLASS 22:Atom
Generic attributes :
22:
Type of Ring System : Polycyclic

L4 STRUCTURE UPLOADED

=> d l4
L4 HAS NO ANSWERS
L4 STR



G1 S,CH2
G2 N,[@1]
G3 H,CN

Structure attributes must be viewed using STN Express query preparation.

=> s l4
SAMPLE SEARCH INITIATED 13:34:51 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 24578 TO ITERATE

8.1% PROCESSED 2000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 482180 TO 500940
PROJECTED ANSWERS: 35 TO 455

L5 1 SEA SSS SAM L4

=> s l4 full

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FULL SEARCH INITIATED 13:34:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   491875 TO ITERATE

 97.8% PROCESSED   481002 ITERATIONS                          153 ANSWERS

100.0% PROCESSED   491875 ITERATIONS                          153 ANSWERS
SEARCH TIME: 00.00.19

L6          153 SEA SSS FUL L4

=> file hcaplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               172.55     347.46

FILE 'HCAPLUS' ENTERED AT 13:35:21 ON 22 MAR 2007
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FILE COVERS 1907 - 22 Mar 2007  VOL 146 ISS 13
FILE LAST UPDATED: 21 Mar 2007  (20070321/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l6
L7          20 L6

=> d ed abs ibib hitstr 1-20
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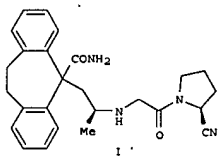
L7 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 02 Nov 2006
GI



I

AB The invention relates generally to pyrrolidine and thiazolidine DPP-IV inhibitory compds. A-B-CO-D (A is a bicyclic or tricyclic ring system attached to B at carbon or nitrogen; B is a linking group such as an amino acid residue or fragment; D is a pyrrolidine or thiazolidine residue or derivative), including isomers and pharmaceutically-acceptable salts, for treatment of DPP-IV mediated diseases, in particular, type-2 diabetes. Thus, pyrrolidinecarbonitrile derivative I was prepared by reaction of 5-[(S)-2-aminopropyl]-10,11-dihydro-5H-dibenzo[a,d]cycloheptene-5-carboxamide with N-glyoxyloyl-L-prolinecarbonitrile (prepns. given) and showed Ki < 6 nM for inhibition of DPP-IV.

ACCESSION NUMBER: 2006:1147258 HCAPLUS
DOCUMENT NUMBER: 145:471864
TITLE: Preparation of multicyclic peptide derivatives as dipeptidyl peptidase-IV inhibitors
INVENTOR(S): Kroth, Heiko; Feuerstein, Tim; Richter, Frank; Boer, Jurgen; Essers, Michael; Nolte, Bert; Schneider, Matthias; Hochguertel, Matthias; Frickel, Fritz-Frieder; Taveras, Arthur
PATENT ASSIGNEE(S): Alantos Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 542pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006116157	A2	20061102	WO 2006-US15200	20060421
WO 2006116157	A9	20070301		

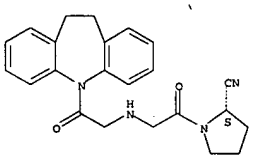
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,

L7 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006270701 A1 20061130 US 2006-409481 20060421
PRIORITY APPLN. INFO.: US 2005-674151P P 20050422

OTHER SOURCE(S): CASREACT 145:471864; MARPAT 145:471864
IT 913978-13-9P 913978-28-6P 913978-29-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of multicyclic peptide derivs. as dipeptidyl peptidase-IV inhibitors)
RN 913978-13-9 HCAPLUS
CN 5H-Dibenz[b,f]azepine, 5-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-10,11-dihydro- (9CI) (CA INDEX NAME)

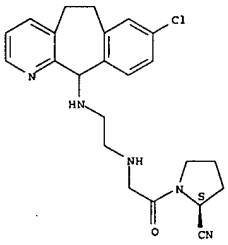
Absolute stereochemistry.



RN 913978-28-6 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-[(8-chloro-6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)amino]ethyl]amino]acetyl]-, (2S)-
(9CI) (CA INDEX NAME)

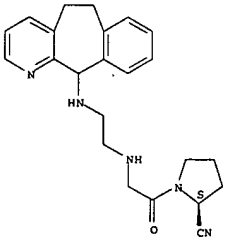
Absolute stereochemistry.

L7 ANSWER 1 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 913978-29-7 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-[(6,11-dihydro-5H-benzo[5,6]cyclohepta[1,2-b]pyridin-11-yl)amino]ethyl]amino]acetyl]-, (2S)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

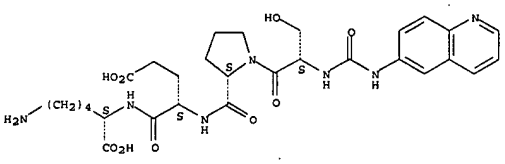


L7 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 18 May 2006
AB The characterization of glycosylation in proteins by mass spectrometry (MS) is often impeded by strong suppression of ionization of glycopeptides in the presence of non-glycosylated peptides. Glycopeptides with a large carbohydrate part and a short peptide backbone are particularly affected by this problem. To meet the goal of generating mass spectra exhibiting glycopeptide coverages as complete as possible, derivatization of glycopeptides offers a practical way to increase their ionization yield. This paper investigated derivatization with 6-aminoquinolyl-N-hydroxysuccinimidyl carbamate (AQC) which is a rapid labeling technique commonly used for fluorescence detection in high-performance liquid chromatog. (HPLC) and capillary electrophoresis (CE). As test samples, we used peptides and glycopeptides obtained by enzymic digestion of three different glycoproteins, i.e., human antithrombin, chicken ovalbumin, and bovine α1-acid-glycoprotein. It was found that AQC derivatization resulted in strongly increased signal intensities when analyzing small peptides and glycopeptides by matrix-assisted laser desorption/ionization (MALDI)-MS. For these compds. the limit of detection could be reduced to low fmol amts. Without derivatization only glycopeptides containing large peptide backbones were detected by MALDI-MS. This effect was even significant when glycopeptides were pre-separated and enriched by means of lectin affinity chromatog. before MALDI-MS anal. and when using electrospray ionization (ESI). This labeling method, applied in combination with MS detection for the first time, was found to be well suited for the enhancement of detection sensitivity for small glycopeptides in MALDI-MS anal. and thus for reducing the need for pre-separation steps.

ACCESSION NUMBER: 2006:466926 HCAPLUS
DOCUMENT NUMBER: 145:146014
TITLE: Derivatization by 6-aminoquinolyl-N-hydroxysuccinimidyl carbamate for enhancing the ionization yield of small peptides and glycopeptides in matrix-assisted laser desorption/ionization and electrospray ionization mass spectrometry
AUTHOR(S): Ullmer, Roman; Plematl, Alexander; Rizzi, Andreas
CORPORATE SOURCE: Institute of Analytical Chemistry and Food Chemistry, University of Vienna, Vienna, A-1090, Austria
SOURCE: Rapid Communications in Mass Spectrometry (2006), 20(9), 1469-1479
CODEN: RCMSEF; ISSN: 0951-4198
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 898251-34-8 898251-35-9 898251-66-6 898251-77-9
RL: ANT (Analyte); FMU (Formation, unclassified); PRP (Properties); ANST (Analytical study); FORM (Formation, nonpreparative)
(derivatization by aminoquinolyl N-hydroxysuccinimidyl carbamate for enhancing the ionization yield of small peptides and glycopeptides in matrix-assisted laser desorption/ionization and electrospray ionization mass spectrometry)
RN 898251-34-8 HCAPLUS
CN L-Lysine, N-[(6-quinolinylamino)carbonyl]-L-seryl-L-prolyl-L-α-glutamyl- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

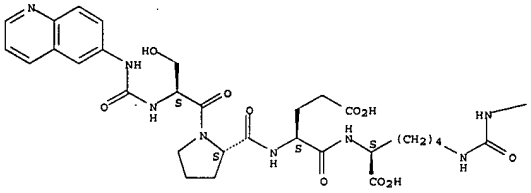
Absolute stereochemistry.



RN 898251-35-9 HCAPLUS
CN L-Lysine, N-[(6-quinolinylamino)carbonyl]-L-seryl-L-prolyl-L-α-glutamyl-N6-[(6-quinolinylamino)carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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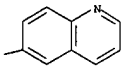
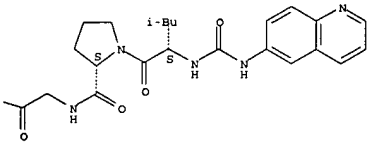


RN 898251-66-6 HCAPLUS
CN L-Arginine, N-[(6-quinolinylamino)carbonyl]-L-isoleucyl-L-prolyl-L-α-glutamyl-L-alanyl-L-threonyl-L-asparaginyl- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-B



REFERENCE COUNT: 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS
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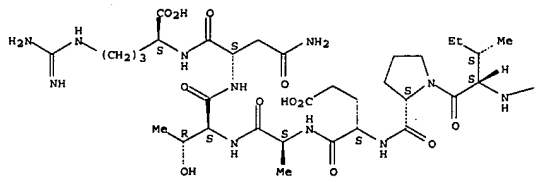
L7 ANSWER 2 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.

PAGE 1-A



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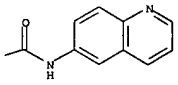
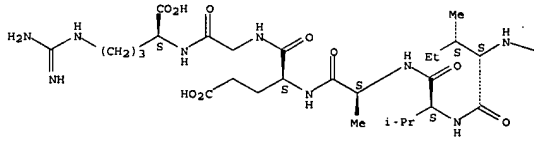


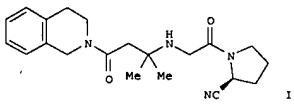
RN 898251-77-9 HCAPLUS
CN L-Arginine, N-[(6-quinolinylamino)carbonyl]-L-leucyl-L-prolylglycyl-L-isoleucyl-L-valyl-L-alanyl-L-α-glutamylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L7 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 09 Dec 2005
GI



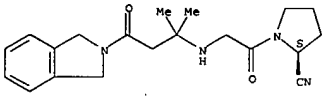
I

AB N-cyanopyrrolidinylcarbonylmethyl amino acid amides such as nonracemic N-cyanopyrrolidinylcarbonylmethyl aminomethylbutanoylisoquinoline I are prepared as dipeptidyl peptidase IV (DPP-IV) inhibitors selective for DPP-IV over the related enzymes DPP-8 and DPP-II for use as potential antidiabetic drugs; the in vitro and in vivo activity of I is determined Boc-protected amino acids are coupled to amines; amine deprotection and alkylation with 1-(bromoacetyl)-(2S)-pyrrolidinecarbonitrile provides the title compds. The DPP-IV-inhibiting structure-activity relationship for a variety of N-substituted aminoacetylpyrrolidinecarbonitriles is determined I suppresses blood glucose elevation after an oral glucose challenge in Wistar rats and also inhibits plasma DPP-IV activity for up to 4 h in BALB/c mice; the in vitro and in vivo activities of I are comparable to those of the antidiabetic agent NVP-LAF237.

ACCESSION NUMBER: 2005:1288271 HCAPLUS
DOCUMENT NUMBER: 144:184000
TITLE: 2-[3-[2-[(2S)-2-Cyano-1-pyrrolidinyl]-2-oxoethylamino]-3-methyl-1-oxobutyl]- 1,2,3,4-tetrahydroisoquinoline: A Potent, Selective, and Orally Bioavailable Dipeptide-Derived Inhibitor of Dipeptidyl Peptidase IV
AUTHOR(S): Tsu, Hsu; Chen, Xin; Chen, Chiung-Tong; Lee, Shiow-Ju; Chang, Chung-Nien; Kao, Kuo-Hsi; Coumar, Mohane Selvaraj; Yeh, Yen-Ting; Chien, Chia-Hui; Wang, Hsin-Sheng; Lin, Ke-Ta; Chang, Ying-Ying; Wu, Ssu-Hui; Chen, Yuan-Shou; Lu, I-Lin; Wu, Su-Ying; Tsai, Ting-Yueh; Chen, Wei-Cheng; Hsieh, Hsing-Pang; Chao, Yu-Sheng; Jiaang, Weir-Torn
CORPORATE SOURCE: Division of Biotechnology and Pharmaceutical Research, National Health Research Institutes, Zhunan, Taiwan
SOURCE: Journal of Medicinal Chemistry (2006), 49(1), 373-380 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:184000
IT 739366-79-1P 739366-97-3P 739367-07-8P 739367-71-6P 874942-38-8P 874942-39-9P 874942-40-2P 874942-41-3P 874942-42-4P

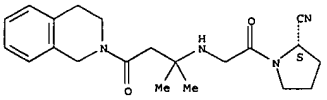
L7 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of cyanopyrrolidinylcarbonylmethyl-substituted amino acid amides as selective inhibitors of dipeptidyl peptidase IV for potential
use as antidiabetic agents)
RN 739366-79-1 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



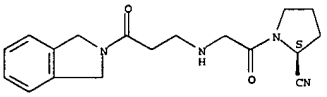
RN 739366-97-3 HCAPLUS
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



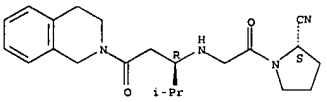
RN 739367-07-8 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



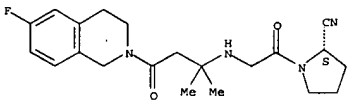
RN 739367-71-6 HCAPLUS
CN Isoquinoline, 2-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

L7 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



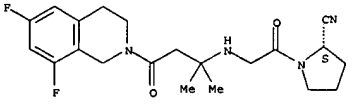
RN 874942-41-3 HCAPLUS
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-6-fluoro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



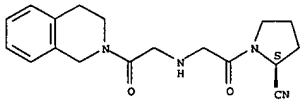
RN 874942-42-4 HCAPLUS
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-6,8-difluoro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



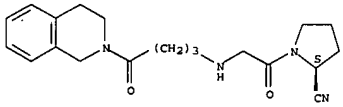
REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 3 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



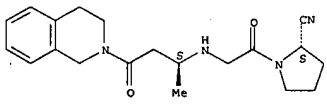
RN 874942-38-8 HCAPLUS
CN Isoquinoline, 2-[4-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxobutyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874942-39-9 HCAPLUS
CN Isoquinoline, 2-[(3S)-3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxobutyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 874942-40-2 HCAPLUS
CN Isoquinoline, 2-[(3R)-3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-4-methyl-1-oxopentyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX
NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 20 Oct 2005
AB The present invention discloses methods and compns. for targeted delivery of active agents and detection of bioactivity for therapeutic or other medical uses. Detectable compns. comprise detectable constructs comprising a detectable agent. Due to the actions of a specific bioactivity in vivo or in vitro, the detectable construct is altered in some manner so that the detectable agent is detected. The present invention provides diagnostic imaging agents such as for MRI and optical imaging, which are used for sensitive detection of a specific bioactivity within a tissue. The present invention comprises methods and compns. for biocleavable or biodegradable compns. for carrying and releasing active agents for therapeutic or other medical uses. The methods and compns. of the present invention further comprise micelle compns. The active agents of the present invention may comprise drugs, vaccines, and imaging agents.
ACCESSION NUMBER: 2005:1126596 HCAPLUS
DOCUMENT NUMBER: 143:427346
TITLE: Methods and compositions for imaging and biomedical applications
INVENTOR(S): Murthy, Niren; Hao, Jihua; Guinn, Amy R.; Yang, Stephen C.; Hefferman, Michael J.
PATENT ASSIGNEE(S): Georgia Tech Research Corporation, USA
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005096789	A2	20051020	WO 2005-US12571	20050412
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

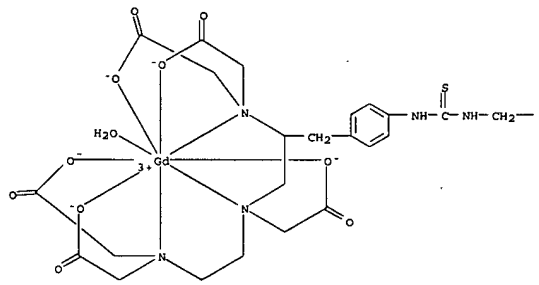
PRIORITY APPLN. INFO.: US 2004-561317P P 20040412
US 2004-617550P P 20041008
US 2005-658050P P 20050302

IT 867346-60-9P
RL: DGN (Diagnostic use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(targeted delivery of active agents and detection of bioactivity for therapeutic or other medical uses)
RN 867346-60-9 HCAPLUS
CN Poly(oxy-1,2-ethanediyl), α-hydro-ω-hydroxy-, ether with dihydrogen aqua[N-[2-[bis[(carboxy-κO)methyl]amino-κN]ethyl]-N-[2-[bis[(carboxy-κO)methyl]amino-κN]-3-[4-[[[(2-

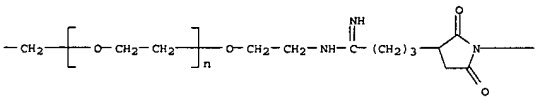
L7 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
hydroxyethyl]amino]thioxomethyl]amino]phenyl]propyl]glycinato(5-)-κN,κO]gadolinate(2-) and hydrogen aqua[N-[3-[3-[4-[(2-

hydroxyethyl)amino]-4-iminobutyl]-2,5-dioxo-1-pyrrolidinyl]-1-oxopropyl]-L-seryl-L-arginyl-L-tryptophyl-L-leucyl-L-alanyl-L-leucyl-L-prolyl-N-[2-[[[bis[2-[bis[(carboxy-κO)methyl]amino-κN]ethyl]amino-κN]acetyl-κO]amino]ethyl]-L-argininamidato(4-)]dysprosate(1-) (9CI) (CA INDEX NAME)

PAGE 1-A

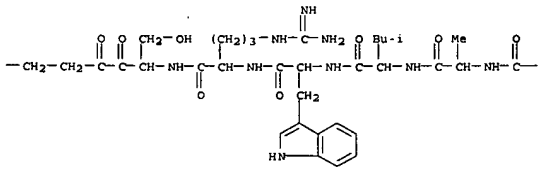


PAGE 1-B

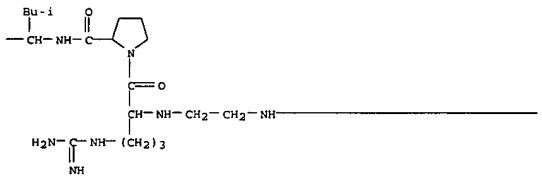


L7 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-C

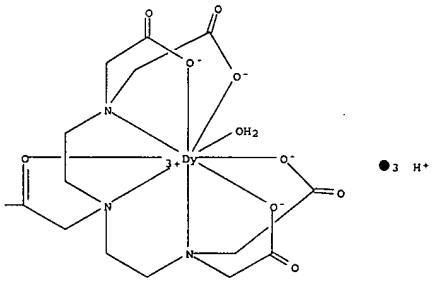


PAGE 1-D



L7 ANSWER 4 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-E



L7 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 20 Oct 2005

AB The synthesis and characterization of the first fluorescent prolyl oligopeptidase inhibitor 4-fluoresceinthiocarbamoyl-6-aminocaproyl-L-prolyl-2(S)-(hydroxyacetyl)pyrrolidine is described. This compound has an IC50 = 0.83 nM and a dissociation half-life of 160 min, and its fluorescence signal is detectable using standard filters for fluorescein. These properties make this compound a suitable probe for visualizing prolyl oligopeptidase in various applications.

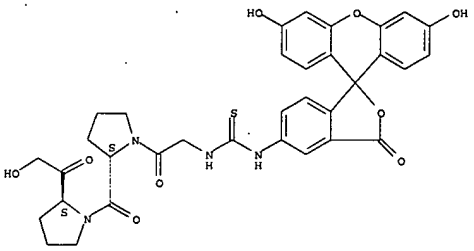
ACCESSION NUMBER: 2005:1122050 HCAPLUS
DOCUMENT NUMBER: 144:36498
TITLE: Synthesis and Characterization of the Novel Fluorescent Prolyl Oligopeptidase Inhibitor 4-Fluoresceinthiocarbamoyl-6-aminocaproyl-L-prolyl-2(S)-(Hydroxyacetyl)pyrrolidine
AUTHOR(S): Venaelaeinen, Jarkko I.; Wallen, Erik A. A.; Poso, Antti; Garcia-Horsman, J. Arturo; Maenniatoe, Pekka T.
CORPORATE SOURCE: Department of Pharmacology and Toxicology, University of Kuopio, Kuopio, FI-70211, Finland
SOURCE: Journal of Medicinal Chemistry (2005), 48(23), 7093-7095
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:36498

IT 870753-82-5P
RL: BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and biol. activity of fluorescent peptides as inhibitors of prolyl oligopeptidase)

RN 870753-82-5 HCAPLUS
CN Pyrrolidine, 1-[[[[(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen]-5-yl)amino]thioxomethyl]amino]acetyl]-2-[[(2S)-2-(hydroxyacetyl)-1-pyrrolidinyl]carbonyl]-, (2S)- (9CI) (CA INDEX NAME)

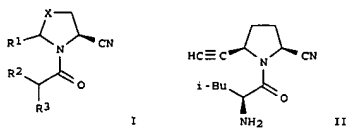
Absolute stereochemistry.

L7 ANSWER 5 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 30 Sep 2005
GI



I II

AB Title compds. I [R1 = alkynyl or cyano; R2 and R3 independently = H, alkyl, alkenyl etc.; or R2 and R3 together form (un)substituted heterocycle; X = CH2, CHF, CF2], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of dipeptidyl peptidase IV (DPP-IV). Thus, e.g., II·HCl was prepared in a multistep synthesis from Me (S)-(+)-2-pyrrolidone-5-carboxylate. Ki values for DPP-IV assays of selected compds. ranged from 1-130 nM. And are useful for the prevention or treatment of diabetes, especially type II diabetes, as well as hyperglycemia, Syndrome X, hyperinsulinemia, obesity, atherosclerosis, and various immunomodulatory diseases.

ACCESSION NUMBER: 2005:1050935 HCAPLUS
DOCUMENT NUMBER: 143:347048
TITLE: Preparation of cyanopyrrolidine derivatives and pharmaceutical compositions thereof as inhibitors of dipeptidyl peptidase-iv (dpp-iv)
INVENTOR(S): Madar, David J.; Djuric, Stevan W.; Michmerhuizen, Melissa J.; Kopecka, Hana A.; Li, Xiaofeng; Longenecker, Kenton L.; Pei, Zhonghua; Pireh, Daisy; Sham, Hing L.; Stewart, Kent D.; Szczepankiewicz, Bruce G.; Wiedeman, Paul E.; Yong, Hong
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 70 pp., Cont.-in-part of U.S. Ser. No. 788,993.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

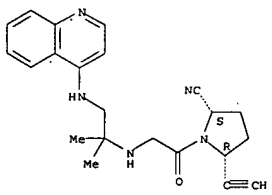
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005215784	A1	20050929	US 2005-36258	20050113
US 2004121964	A1	20040624	US 2003-659860	20030911
US 2004259843	A1	20041223	US 2004-788993	20040227
PRIORITY APPLN. INFO.:			US 2002-412084P P	20020919

L7 ANSWER 6 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

US 2003-659860 A2 20030911
US 2004-788993 A2 20040227

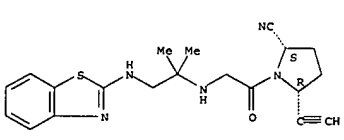
OTHER SOURCE(S): MARPAT 143:347048
IT 676560-65-9P 676560-68-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyanopyrrolidine derivs. and pharmaceutical compns. thereof
as inhibitors of dipeptidyl peptidase-iv (dpp-iv))
RN 676560-65-9 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[1,1-dimethyl-2-(4-quinolinylamino)ethyl]amino]acetyl]-5-ethynyl-, (2S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

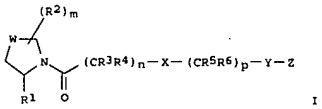


RN 676560-68-2 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(2-benzothiazolylamino)-1,1-dimethylethyl]amino]acetyl]-5-ethynyl-, (2S,5R)- (9CI) (CA INDEX NAME)

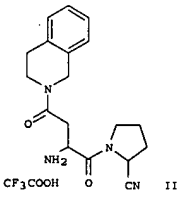
Absolute stereochemistry.



L7 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 22 Sep 2005
GI



I



II

AB Title compds. I [R1 = H or CN; R2-6 independently = H, halo, nitro, etc.; m = 0-5; n and p independently = 0-4; W = O, S, NR7, etc.; R7 = H, halo, alkyl, etc.; X = O, S or CR8(NR9R10); R8-10 independently = H, alkyl or aryl; Y = S, SO, CS, etc.; Z = NR11R12; R11 and R12 independently = H, alkoxyalkyl, haloalkyl, etc.] and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of dipeptidyl peptidase IV (DPP-IV). Thus, e.g., II was prepared by DCC coupling of tert-butoxycarbonyl-L-glutamic acid 5-benzyl ester with pyrrolidine-2-carbonitrile hydrochloride followed by deprotection/coupling/deprotection sequence using 1,2,3,4-tetrahydroisoquinoline in the DCC coupling step. The inhibitory activity of I towards DPP-IV was evaluated using chromogenic enzyme assays and it was found that selected compds. of the invention showed inhibitory activities (no data). I as inhibitors of DPP-IV should prove useful in the treatment of type II diabetes. Pharmaceutical compns. comprising I are disclosed.

ACCESSION NUMBER: 2005:1021623 HCAPLUS
DOCUMENT NUMBER: 143:326200
TITLE: Preparation of pyrrolidine derivatives as inhibitors of dipeptidyl peptidase IV (DPP-IV)
INVENTOR(S): Jiaang, Weir-Tom; Chen, Xin; Wu, Su-Ying; Hsieh, Hsing-Pang; Chao, Yu-Sheng
PATENT ASSIGNEE(S): National Health Research Institutes, Peop. Rep. China
SOURCE: PCT Int. Appl., 42 pp.

L7 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005087235	A1	20050922	WO 2005-US7839	20050309

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

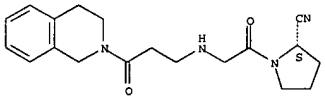
AU 2005221678	A1	20050922	AU 2005-221678	20050309
CA 2559611	A1	20050922	CA 2005-2559611	20050309
US 2005222222	A1	20051006	US 2005-77551	20050309
EP 1729774	A1	20061213	EP 2005-725171	20050309

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR

PRIORITY APPLN. INFO.:	US 2004-551419P	P	20040309
	US 2004-617684P	P	20041012
	WO 2005-US7839	W	20050309

OTHER SOURCE(S): MARPAT 143:326200
IT 739367-08-9
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of comparative compound for pyrrolidine derivs. as inhibitors of
dipeptidyl peptidase IV)
RN 739367-08-9 HCAPLUS
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

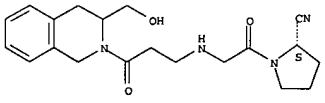
Absolute stereochemistry.



IT 864920-96-7P 864921-10-8P 864921-12-0P

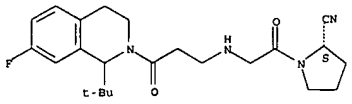
L7 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 864921-13-1 HCAPLUS
CN 3-Isoquinolinemethanol, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 864921-14-2 HCAPLUS
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1-(1,1-dimethylethyl)-7-fluoro-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

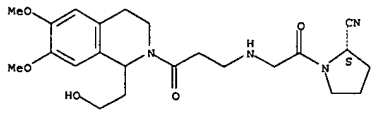
Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

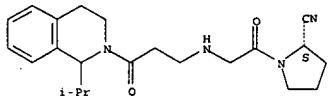
L7 ANSWER 7 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
864921-13-1P 864921-14-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of pyrrolidine derivs. as inhibitors of dipeptidyl peptidase IV)
RN 864920-96-7 HCAPLUS
CN 1-Isoquinolineethanol, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro-6,7-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



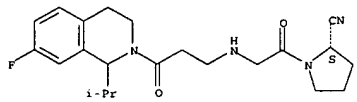
RN 864921-10-8 HCAPLUS
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

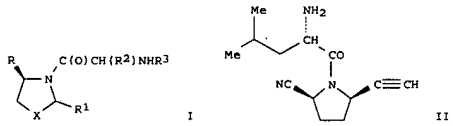


RN 864921-12-0 HCAPLUS
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-7-fluoro-1,2,3,4-tetrahydro-1-(1-methylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 24 Dec 2004
GI



AB The present invention relates to N-aminoacyl pyrrolidine-2-carbonitriles and related compds. (shown as I; variables defined below; e.g. II) that inhibit dipeptidyl peptidase IV (DPP-IV) and are useful for the prevention
or treatment of diabetes, especially type II diabetes, as well as hyperglycemia,
Syndrome X, hyperinsulinemia, obesity, atherosclerosis, and various immunomodulatory diseases (no data). Compds. I inhibit DPP-IV induced fluorescence with inhibitory consts. 0.014-7 μM. Although the methods of preparation are not claimed, >100 example prepns. are included. E.g., a
9-step synthesis of II, starting from Me (S)-(+)-2-pyrrolidone-5-carboxylate, was given. For I: X = CH2, CHF and CF2; R = alkylcarbonyl, arylcarbonyl, cyano, heterocyclylcarbonyl, R4R5NC(O)-, B(OR6)2, 1,3,2-dioxaborolane and 4,4,5,5-tetramethyl-1,3,2-dioxaborolane; R1 = alkoxyalkyl, alkyl, alkylcarbonyl, alkenyl, alkynyl, allenyl, arylalkyl, cycloalkyl, cycloalkylalkyl, cyano, haloalkyl, haloalkenyl, heterocyclylalkyl, and hydroxyalkyl. R2 and R3 = H, alkoxyalkyl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl; or R2 and R3 taken together with the atoms to which they are attached form a mono or bicyclic heterocycle 2-indolinyl, 2-indolyl, 3-isoquinolinyl, 2-piperazinyl, 2-piperidinyl, 2-pyrrolidinyl, 2-pyrrolyl, 2-pyridinyl, 2-quinolinyl, 2-tetrahydroquinolinyl, and 3-tetrahydroisoquinolinyl, wherein said heterocycle may be substituted with 0-3 alkenyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkyl, alkylcarbonyl, alkylcarbonylalkyl, alkylcarbonyloxy, alkylsulfonyl, alkylthio, alkynyl, aryl, arylalkoxy, arylalkyl, arylcarbonyl, aryloxy, carboxy, carboxyalkyl,
cyano, cyanoalkyl, formyl, halogen, haloalkyl, hydroxy, hydroxyalkyl, mercapto, nitro, Ph, RARBN-, RcRDNC(O)-, and RcRDNS(O)2-. R4, R5 and R6 =
H, alkyl, and arylalkyl; RA and RB = alkyl, alkylcarbonyl, alkoxycarbonyl,
alkylsulfonyl; or RA and RB taken together with the N to which they are attached form a ring piperidine, piperazine and morpholine; and RC and RD = H and alkyl.
ACCESSION NUMBER: 2004:1127082 HCAPLUS
DOCUMENT NUMBER: 142:74441
TITLE: Preparation of N-aminoacyl pyrrolidine-2-carbonitriles

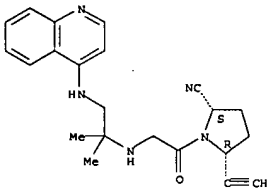
L7 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
and related compounds as inhibitors of dipeptidyl peptidase-IV (DPP-IV) useful against type II diabetes and other disorders
INVENTOR(S): Madar, David J.; Djuric, Stevan W.; Michmerhuizen, Melissa J.; Kopecka, Hana A.; Li, Xiaofeng; Longenecker, Kenton L.; Pei, Zhonghua; Pireh, Daisy; Sham, Hing L.; Stewart, Kent D.; Szczepankiewicz, Bruce G.; Wiedeman, Paul E.; Yong, Hong
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 66 pp., Cont.-in-part of U.S. Ser. No. 659,860.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004259843	A1	20041223	US 2004-788993	20040227
US 2004121964	A1	20040624	US 2003-659860	20030911
US 2005215784	A1	20050929	US 2005-36258	20050113
PRIORITY APPLN. INFO.:			US 2002-412084P P	20020919
			US 2003-659860 A2	20030911
			US 2004-788993 A2	20040227

OTHER SOURCE(S): MARPAT 142:74441
IT 676560-65-9P 676560-68-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-aminoacyl pyrrolidine-2-carbonitriles and related compds. as inhibitors of dipeptidyl peptidase-IV useful against type II diabetes and other disorders)
RN 676560-65-9 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[1,1-dimethyl-2-(4-quinolinylamino)ethyl]amino]acetyl]-5-ethynyl-, (2S,5R)- (9CI) (CA INDEX NAME)

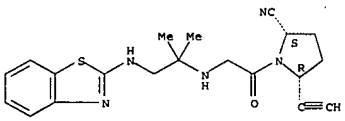
Absolute stereochemistry.

L7 ANSWER 8 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

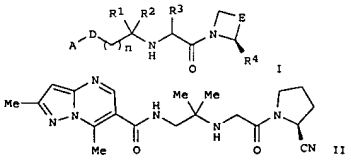


RN 676560-68-2 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(2-benzothiazolylamino)-1,1-dimethylethyl]amino]acetyl]-5-ethynyl-, (2S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 12 Aug 2004
GI



AB The title compds. I [wherein R1 and R2 = independently H, (un)substituted alkyl, CO2H, etc.; R3 = H or (un)substituted aryl; R4 = H or CN; D = CONR6, CO, or NR6CO; R6 = H or (un)substituted alkyl; E = CH2, CH2CH2, CH2CH2CH2, CH2OCH2, or SCH2; n = 0-3; A = (un)substituted bicyclo(hetero)cyclyl] or pharmaceutically acceptable salts thereof are prepared as dipeptidyl peptidase (DPP) IV inhibitors. For example, the compound II•HCl was prepared in a multi-step synthesis. I inhibited DPP IV with IC50 of 0.002 to 0.094 μM.
ACCESSION NUMBER: 2004:648505 HCAPLUS
DOCUMENT NUMBER: 141:190794
TITLE: Preparation of arylcarboxamides as dipeptidyl peptidase IV inhibitors
INVENTOR(S): Kakigami, Takuji; Oka, Mitsuru; Katoh, Noriyasu; Yoshida, Masahiro; Shirai, Masahiro; Murase, Toru; Sakairi, Masao; Yamamoto, Takayo; Takeuchi, Mitsuaki; Hayashi, Yuji; Takeda, Motohiro; Makino, Mitsuhiro
PATENT ASSIGNEE(S): Sanwa Kagaku Kenkyusho Co., Ltd., Japan
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004067509	A1	20040812	WO 2004-JP886	20040130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
AU 2004207731	A1	20040812	AU 2004-207731	20040130
CA 2514191	A1	20040812	CA 2004-2514191	20040130
EP 1595866	A1	20051116	EP 2004-706796	20040130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1745063	A	20060308	CN 2004-80003342	20040130
US 2006229286	A1	20061012	US 2006-541108	20060201
PRIORITY APPLN. INFO.:			JP 2003-23077 A	20030131

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
WO 2004-JP886 A 20040130

OTHER SOURCE(S): MARPAT 141:190794
IT 739366-79-1P 739366-80-4P 739366-81-5P
739366-82-6P 739366-83-7P 739366-84-8P
739366-85-9P 739366-86-0P 739366-87-1P
739366-88-2P 739366-89-3P 739366-90-6P
739366-91-7P 739366-92-8P 739366-93-9P
739366-94-0P 739366-95-1P 739366-96-2P
739366-97-3P 739366-98-4P 739366-99-5P
739367-00-1P 739367-07-8P 739367-08-9P
739367-09-0P 739367-10-3P 739367-11-4P
739367-59-0P 739367-60-3P 739367-61-4P
739367-65-8P 739367-66-9P 739367-67-0P
739367-71-6P 739367-72-7P 739367-73-8P
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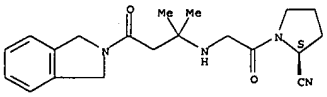
739367-83-0P 739367-84-1P 739367-85-2P
739367-89-6P 739367-90-9P 739367-91-0P
739367-95-4P 739367-96-5P 739367-97-6P
739368-00-4P 739368-01-5P 739368-02-6P
739368-06-0P 739368-07-1P 739368-08-2P
739368-12-8P 739368-13-9P 739368-14-0P
739368-17-3P 739368-18-4P 739368-19-5P
739368-22-0P 739368-23-1P 739368-24-2P
739368-27-5P 739368-29-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of arylcarboxamides as dipeptidyl peptidase IV inhibitors)
RN 739366-79-1 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

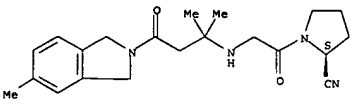
Absolute stereochemistry.



RN 739366-80-4 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-5-methyl- (9CI) (CA INDEX NAME)

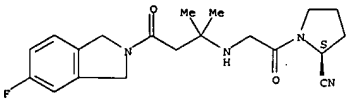
Absolute stereochemistry.

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



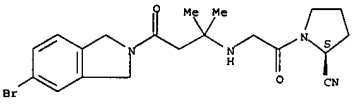
RN 739366-81-5 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-5-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



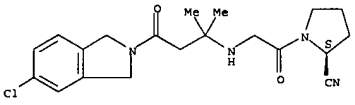
RN 739366-82-6 HCAPLUS
CN 1H-Isoindole, 5-bromo-2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

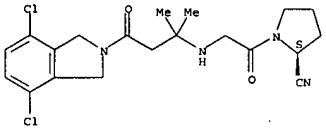


RN 739366-83-7 HCAPLUS
CN 1H-Isoindole, 5-chloro-2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

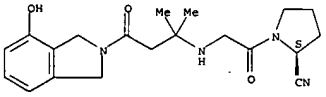


L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



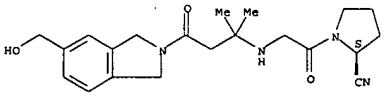
RN 739366-88-2 HCAPLUS
CN 1H-Isoindol-4-ol,
2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



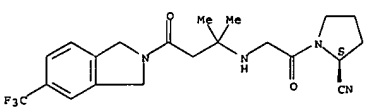
RN 739366-89-3 HCAPLUS
CN 1H-Isoindole-5-methanol, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



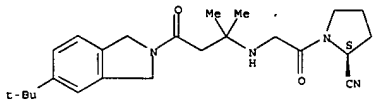
RN 739366-90-6 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



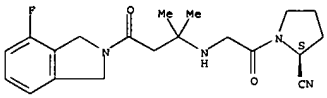
L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 739366-84-8 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-5-(1,1-dimethylethyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



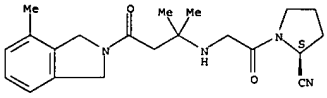
RN 739366-85-9 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-4-fluoro-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739366-86-0 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

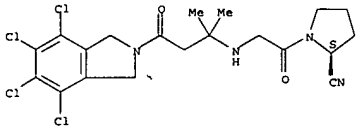


RN 739366-87-1 HCAPLUS
CN 1H-Isoindole, 4,7-dichloro-2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

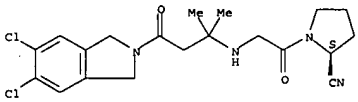
L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 739366-91-7 HCAPLUS
CN 1H-Isoindole,
4,5,6,7-tetrachloro-2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



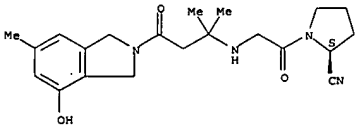
RN 739366-92-8 HCAPLUS
CN 1H-Isoindole, 5,6-dichloro-2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739366-93-9 HCAPLUS
CN 1H-Isoindol-4-ol,
2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-6-methyl- (9CI) (CA INDEX NAME)

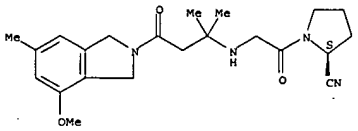
Absolute stereochemistry.



RN 739366-94-0 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-4-methoxy-6-methyl- (9CI) (CA INDEX NAME)

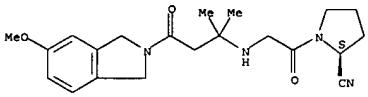
Absolute stereochemistry.

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



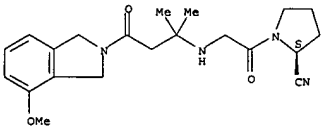
RN 739366-95-1 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-5-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739366-96-2 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-2,3-dihydro-4-methoxy- (9CI) (CA INDEX NAME)

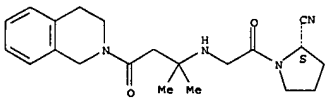
Absolute stereochemistry.



RN 739366-97-3 HCAPLUS
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-3-methyl-1-oxobutyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

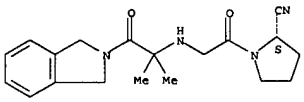
Absolute stereochemistry.

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



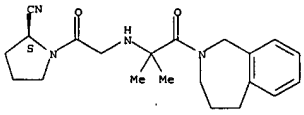
RN 739366-98-4 HCAPLUS
CN 1H-Isoindole, 2-[2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-2-methyl-1-oxopropyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 739366-99-5 HCAPLUS
CN 1H-2-Benzazepine,
2-[2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-2-methyl-1-oxopropyl]-2,3,4,5-tetrahydro- (9CI) (CA INDEX NAME)

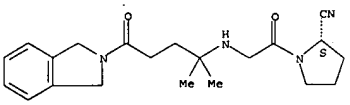
Absolute stereochemistry.



RN 739367-00-1 HCAPLUS
CN 1H-Isoindole, 2-[4-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-4-methyl-1-oxopentyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

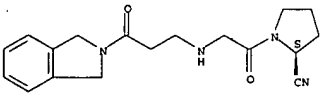
Absolute stereochemistry.

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



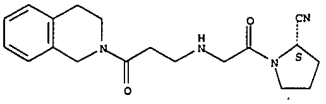
RN 739367-07-8 HCAPLUS
CN 1H-Isoindole, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



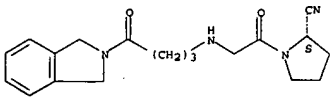
RN 739367-08-9 HCAPLUS
CN Isoquinoline, 2-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



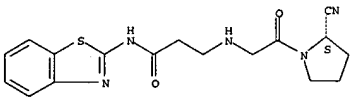
RN 739367-09-0 HCAPLUS
CN 1H-Isoindole, 2-[4-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxobutyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



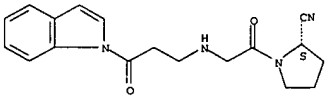
RN 739367-10-3 HCAPLUS
CN Propanamide, N-2-benzothiazolyl-3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



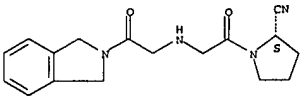
RN 739367-11-4 HCAPLUS
CN 1H-Indole, 1-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



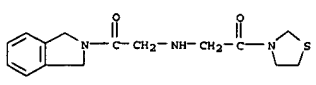
RN 739367-59-0 HCAPLUS
CN 1H-Isoindole, 2-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-2,3-dihydro-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

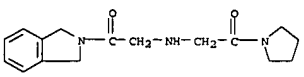


● HCl

RN 739367-60-3 HCAPLUS
CN 1H-Isoindole,
2,3-dihydro-2-[[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)

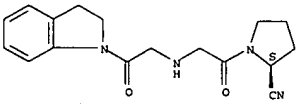


L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 739367-61-4 HCAPLUS
CN 1H-Isoindole, 2,3-dihydro-2-[[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)

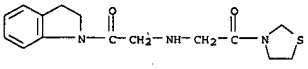


RN 739367-65-8 HCAPLUS
CN 1H-Indole, 1-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

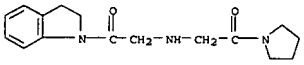
Absolute stereochemistry.



RN 739367-66-9 HCAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)



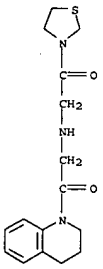
RN 739367-67-0 HCAPLUS
CN 1H-Indole, 2,3-dihydro-1-[[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)



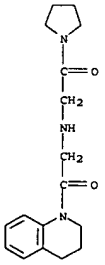
RN 739367-71-6 HCAPLUS
CN Isoquinoline, 2-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



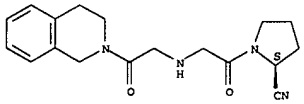
RN 739367-79-4 HCAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)



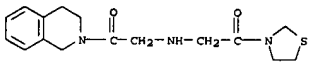
RN 739367-83-0 HCAPLUS
CN Acetamide, 2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-N-3-isoquinolinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

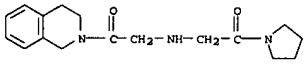
L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 739367-72-7 HCAPLUS
CN Isoquinoline, 1,2,3,4-tetrahydro-2-[[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)

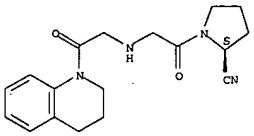


RN 739367-73-8 HCAPLUS
CN Isoquinoline, 1,2,3,4-tetrahydro-2-[[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)



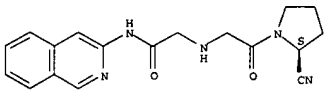
RN 739367-77-2 HCAPLUS
CN Quinoline, 1-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

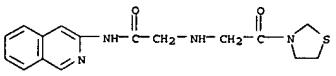


RN 739367-78-3 HCAPLUS
CN Quinoline, 1,2,3,4-tetrahydro-1-[[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]acetyl]- (9CI) (CA INDEX NAME)

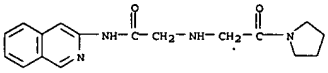
L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 739367-84-1 HCAPLUS
CN Acetamide, N-3-isoquinolinyl-2-[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

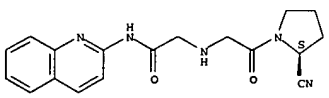


RN 739367-85-2 HCAPLUS
CN Acetamide, N-3-isoquinolinyl-2-[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

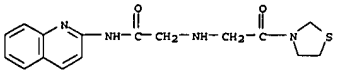


RN 739367-89-6 HCAPLUS
CN Acetamide, 2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

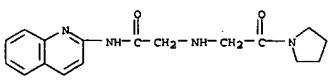


RN 739367-90-9 HCAPLUS
CN Acetamide, 2-[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)



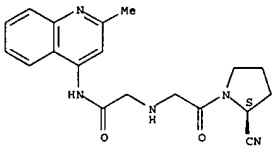
L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 739367-91-0 HCAPLUS
CN Acetamide, 2-[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]-N-2-quinolinyl- (9CI) (CA INDEX NAME)



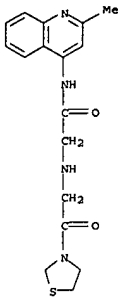
RN 739367-95-4 HCAPLUS
CN Acetamide, 2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-N-(2-methyl-4-quinolinyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

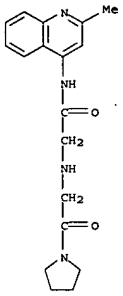


RN 739367-96-5 HCAPLUS
CN Acetamide, N-(2-methyl-4-quinolinyl)-2-[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



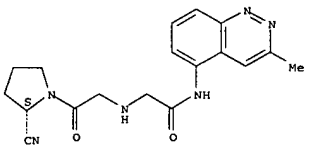
RN 739367-97-6 HCAPLUS
CN Acetamide, N-(2-methyl-4-quinolinyl)-2-[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



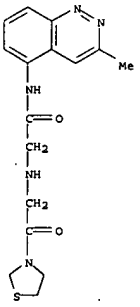
RN 739368-00-4 HCAPLUS
CN Acetamide, 2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-N-(3-methyl-5-cinnolinyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

Absolute stereochemistry.

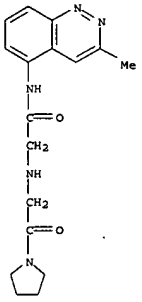


RN 739368-01-5 HCAPLUS
CN Acetamide, N-(3-methyl-5-cinnolinyl)-2-[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME).



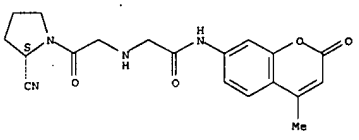
RN 739368-02-6 HCAPLUS
CN Acetamide, N-(3-methyl-5-cinnolinyl)-2-[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

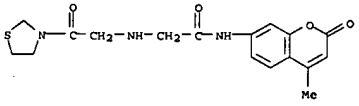


RN 739368-06-0 HCAPLUS
CN Acetamide, 2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-N-(4-methyl-2-oxo-2H-1-benzopyran-7-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

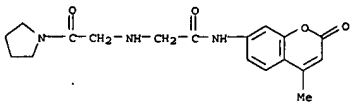


RN 739368-07-1 HCAPLUS
CN Acetamide, N-(4-methyl-2-oxo-2H-1-benzopyran-7-yl)-2-[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



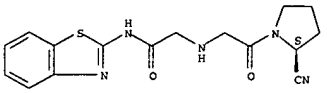
RN 739368-08-2 HCAPLUS
CN Acetamide, N-(4-methyl-2-oxo-2H-1-benzopyran-7-yl)-2-[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

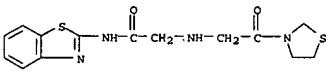


RN 739368-12-8 HCAPLUS
CN Acetamide, N-2-benzothiazolyl-2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]- (9CI) (CA INDEX NAME)

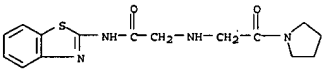
Absolute stereochemistry.



RN 739368-13-9 HCAPLUS
CN Acetamide, N-2-benzothiazolyl-2-[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



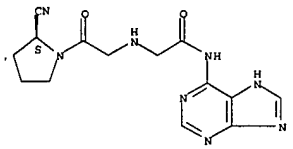
RN 739368-14-0 HCAPLUS
CN Acetamide, N-2-benzothiazolyl-2-[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



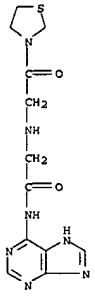
RN 739368-17-3 HCAPLUS
CN Acetamide, 2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-N-1H-purin-6-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

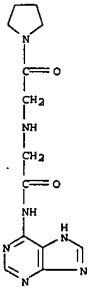


RN 739368-18-4 HCAPLUS
CN Acetamide, 2-[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]-N-1H-purin-6-yl- (9CI) (CA INDEX NAME)



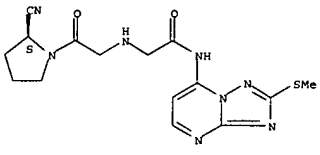
RN 739368-19-5 HCAPLUS
CN Acetamide, 2-[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]-N-1H-purin-6-yl- (9CI)
(CA INDEX NAME)

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



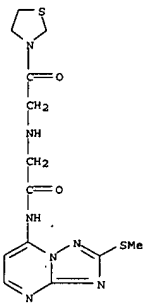
RN 739368-22-0 HCAPLUS
CN Acetamide, 2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-N-[2-(methylthio)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

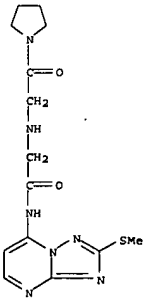


RN 739368-23-1 HCAPLUS
CN Acetamide, N-[2-(methylthio)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-2-[[2-oxo-2-(3-thiazolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



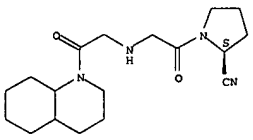
RN 739368-24-2 HCAPLUS
CN Acetamide, N-[2-(methylthio)[1,2,4]triazolo[1,5-a]pyrimidin-7-yl]-2-[[2-oxo-2-(1-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 739368-27-5 HCAPLUS
CN Quinoline, 1-[[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]acetyl]decahydro- (9CI) (CA INDEX NAME)

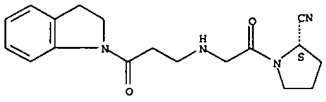
Absolute stereochemistry.

L7 ANSWER 9 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

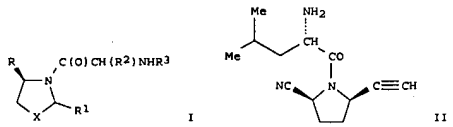


RN 739368-29-7 HCAPLUS
CN 1H-Indole, 1-[3-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-1-oxopropyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L7 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 01 Apr 2004
GI



I II

AB The present invention relates to N-aminoacyl pyrrolidine-2-carbonitriles and related compds. (shown as I; variables defined below; e.g. II) that inhibit dipeptidyl peptidase IV (DPP-IV) and are useful for the prevention
or treatment of diabetes, especially type II diabetes, as well as hyperglycemia,
Syndrome X, hyperinsulinemia, obesity, atherosclerosis, and various immunomodulatory diseases (no data). Compds. I inhibit DPP-IV induced fluorescence with inhibitory consts. 0.014-7 μM. Although the methods of preparation are not claimed, >100 example prepns. are included. For example, II was prepared in 9 steps starting from Me (S)-(+)-2-pyrrolidone-5-
carboxylate and involving intermediates di-Me (2S)-5-oxopyrrolidine-1,2-dicarboxylate, di-Me (2S)-5-methoxypyrrolidine-1,2-dicarboxylate, di-Me (2S)-5-[(trimethylsilyl)ethynyl]pyrrolidine-1,2-dicarboxylate (separated diastereomers), Me (5R)-5-[(trimethylsilyl)ethynyl]-L-prolinate, Me (5R)-1-[N-(tert-butoxycarbonyl)-L-leucyl]-5-[(trimethylsilyl)ethynyl]-L-prolinate, (5R)-1-[N-(tert-butoxycarbonyl)-L-leucyl]-5-ethynyl-L-proline, (5R)-1-[N-(tert-butoxycarbonyl)-L-leucyl]-5-ethynyl-L-prolinamide and (5R)-1-[N-(tert-butoxycarbonyl)-L-leucyl]-5-ethynyl-L-pyrrolidine-2-carbonitrile. For I: X = CH2, CHF and CF2; R = alkylcarbonyl, arylcarbonyl, cyano, heterocyclecarbonyl, R4R5NC(O)-, B(OR6)2, 1,3,2-dioxaborolane and 4,4,5,5-tetramethyl-1,3,2-dioxaborolane; R1 = alkoxyalkyl, alkyl, alkylcarbonyl, alkenyl, alkynyl, allenyl, arylalkyl, cycloalkyl, cycloalkylalkyl, cyano, haloalkyl, haloalkenyl, heterocyclealkyl, and hydroxyalkyl. R2 and R3 = H, alkoxyalkyl, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, heterocycle, heterocyclealkyl, hydroxyalkyl; or R2 and R3 taken together with the atoms to which they are attached form a mono or bicyclic heterocycle 2-indolinyl, 2-indolyl, 3-isoquinolinyl, 2-piperazinyl, 2-piperidinyl, 2-pyrrolidinyl, 2-pyrrolyl, 2-pyridinyl, 2-quinolinyl, 2-tetrahydroquinolinyl, and 3-tetrahydroisoquinolinyl, wherein said heterocycle may be subatituted with 0-3 alkenyl, alkoxy, alkoxyalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkyl, alkylcarbonyl, alkylcarbonylalkyl, alkylcarbonyloxy, alkylsulfonyl, alkylthio, alkynyl, aryl, arylalkoxy, arylalkyl, arylcarbonyl, aryloxy, carboxy, carboxyalkyl,
cyano, cyanoalkyl, formyl, halogen, haloalkyl, hydroxy, hydroxyalkyl, mercapto, nitro, Ph, RARBN-, RcRDNC(O)-, and RcRDNS(O)2-. R4, R5 and R6 =

L7 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
H, alkyl, and arylalkyl; RA and RB = alkyl, alkylcarbonyl, alkoxycarbonyl,
alkylsulfonyl; or RA and RB taken together with the N to which they are attached form a ring piperidine, piperazine and morpholine; and RC and RD = H and alkyl.

ACCESSION NUMBER: 2004:267291 HCAPLUS
DOCUMENT NUMBER: 140:303518
TITLE: Preparation of N-aminoacyl pyrrolidine-2-carbonitriles
and related compounds as inhibitors of dipeptidyl peptidase-IV (DPP-IV) useful against type II diabetes and other disorders
INVENTOR(S): Madar, David; Pei, Zhonghua; Pireh, Daisy; Djuric, Stevan W.; Wiedeman, Paul E.; Yong, Hong; Feenstra, Melissa J.; Kopecka, Hana; Li, Xiaofeng; Longenecker, Kenton; Sham, Hing L.; Stewart, Kent D.; Szczepankiewicz, Bruce G.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 135 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

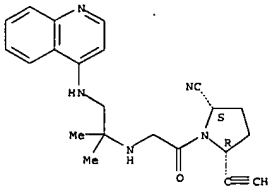
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004026822	A2	20040401	WO 2003-US29018	20030915
WO 2004026822	A3	20040506		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004121964	A1	20040624	US 2003-659860	20030911
CA 2497725	A1	20040401	CA 2003-2497725	20030915
AU 2003282800	A1	20040408	AU 2003-282800	20030915
BR 2003014582	A	20050809	BR 2003-14582	20030915
EP 1560811	A2	20050810	EP 2003-774478	20030915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1703399	A	20051130	CN 2003-825188	20030915
JP 2006503057	T	20060126	JP 2004-537831	20030915
ZA 2005002218	A	20050916	ZA 2005-2218	20050316
IN 2005MN00210	A	20050930	IN 2005-MN210	20050317

PRIORITY APPLN. INFO.:
US 2002-246831 A 20020919
US 2002-412084P P 20020919
US 2003-659860 A 20030911
WO 2003-US29018 W 20030915

OTHER SOURCE(S): MARPAT 140:303518

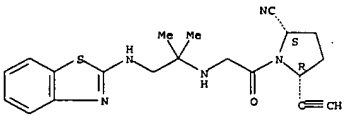
L7 ANSWER 10 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
IT 676560-65-9P 676560-68-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-aminoacyl pyrrolidine-2-carbonitriles and
related compds. as inhibitors of dipeptidyl peptidase-IV useful against
type II diabetes and other disorders)
RN 676560-65-9 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[1,1-dimethyl-2-(4-quinolinylamino)ethyl]amino]acetyl]-5-ethynyl-, (2S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 676560-68-2 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(2-benzothiazolylamino)-1,1-dimethylethyl]amino]acetyl]-5-ethynyl-, (2S,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

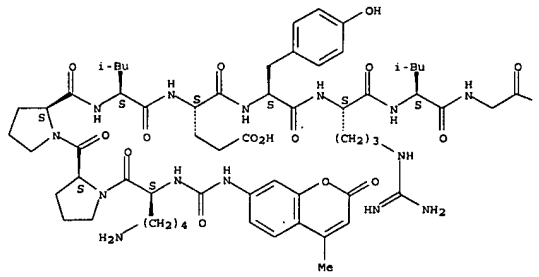


L7 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 22 Jan 2004
AB High-resolution crystallog. anal. of a complex of the serine-carboxyl proteinase sedolisin with pseudo-iodotyrostatin revealed two mols. of this inhibitor bound in the active site of the enzyme, marking subsites from S3 to S3'. The mode of binding represents two products of the proteolytic reaction. Substrate specificity of sedolisin was investigated using peptide libraries and a new peptide substrate for sedolisin, MCA-Lys-Pro-Pro-Leu-Glu#Tyr-Arg-Leu-Gly-Lys(DNP)-Gly, was synthesized based on the results of the enzymic and crystallog. studies and was shown to be efficiently cleaved by the enzyme. The kinetic parameters for the substrate, measured by the increase in fluorescence upon relief of quenching, were kcat = 73±5 s-1, Km = 0.12±0.011 μM, and kcat/Km = 608±85 s-1 μM-1.
ACCESSION NUMBER: 2004:51888 HCAPLUS
DOCUMENT NUMBER: 140:283321
TITLE: Two inhibitor molecules bound in the active site of Pseudomonas sedolisin: a model for the bi-product complex following cleavage of a peptide substrate
AUTHOR(S): Wlodawer, Alexander; Li, Mi; Gustchina, Alla; Oyama, Hiroshi; Oda, Kohei; Beyer, Bret B.; Clemente, Jose; Dunn, Ben M.
CORPORATE SOURCE: Macromolecular Crystallography Laboratory, Protein Structure Section, National Cancer Institute at Frederick, Frederick, MD, 21702, USA
SOURCE: Biochemical and Biophysical Research Communications (2004), 314(2), 638-645
CODEN: BBRCA9; ISSN: 0006-291X
PUBLISHER: Elsevier Science
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 676262-85-4
RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(anal. of substrate specificity using peptide libraries identifies novel fluorescent substrate for Pseudomonas sedolisin)
RN 676262-85-4 HCAPLUS
CN Glycine,
N2-[[(4-methyl-2-oxo-2H-1-benzopyran-7-yl)amino]carbonyl]-L-lysyl-L-prolyl-L-prolyl-L-leucyl-L-α-glutamyl-L-tyrosyl-L-arginyl-L-leucylglycyl-N6-(2,6-dinitrophenyl)-L-lysyl- (9CI) (CA INDEX NAME)

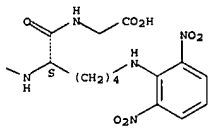
Absolute stereochemistry.

L7 ANSWER 11 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 09 May 2003
GI

I

AB The present invention relates to N-aminoacetyl-substituted pyrrolidines related compds. (shown as I; variables defined below; e.g. (2S)-1-[[1,2,3,4-Tetrahydronaphthalen-1-ylamino]acetyl]pyrrolidine-2-carbonitrile) and pharmaceutically acceptable salts thereof. The compds. are useful for the treatment and/or prophylaxis of diseases which are associated with dipeptidyl peptidase IV (DPP IV), such as diabetes, particularly noninsulin dependent diabetes mellitus, and impaired glucose tolerance. For I: R1 is H or CN; R2 is C(R3)(R4)(CH2)nR5, C(R3,R4)CH2OR7, or (un)substituted tetralinyl, tetrahydroquinolinyl or tetrahydroisoquinolinyl; R3 is H, lower-alkyl, benzyl, hydroxybenzyl or indolylmethylene; R4 is H or lower-alkyl, or R3 and R4 are bonded to each other to form a ring together with the C atom to which they are attached and -R3-R4- is -(CH2)2-5. R5 is (un)substituted 5-membered heteroaryl, bi- or tricyclic heterocyclyl, or aminophenyl; R6 is (un)substituted pyridinyl, pyrimidinyl, 5-membered heteroaryl or bi- or tricyclic heterocyclyl; R7 is (un)substituted aminophenyl, naphthyl or quinolinyl; X is C(R8,R9) or S; R8 and R9 = H or lower-alkyl, n = 0-2; addnl. details are given in the claims. Five pharmaceutical formulations are tabulated. IC50 values for inhibition of dipeptidyl peptidase IV are tabulated for 6 examples of I; e.g. 0.001 μM for (2S)-1-[[[1-dimethyl-2-(5-methyl-2-m-tolyl-1H-imidazol-4-yl)ethyl]amino]acetyl]pyrrolidine-2-carbonitrile. Example prepns. are given for 209 compds. I; for example, (2S)-1-[[1,2,3,4-tetrahydronaphthalen-1-ylamino]acetyl]pyrrolidine-2-carbonitrile was obtained from 1-amino-1,2,3,4-tetrahydronaphthalene and (2S)-1-chloroacetylpyrrolidine-2-carbonitrile in THF.
ACCESSION NUMBER: 2003:356248 HCAPLUS
DOCUMENT NUMBER: 138:368754
TITLE: Preparation of N-aminoacetyl-substituted pyrrolidines as dipeptidyl peptidase IV inhibitors
INVENTOR(S): Boehringer, Markus; Hunziker, Daniel; Kuehne, Holger; Loeffler, Bernd Michael; Sarabu, Ramakanth; Wessel, Hans Peter
PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 159 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037327	A1	20030508	WO 2002-EP11711	20021018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

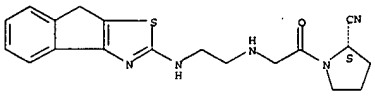
L7 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003130281	A1	20030710	US 2002-269519	20021014
US 6861440	B2	20050301		
CA 2463709	A1	20030508	CA 2002-2463709	20021018
EP 1441719	A1	20040804	EP 2002-777318	20021018
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002013539	A	20041019	BR 2002-13539	20021018
HU 200402107	A2	20050228	HU 2004-2107	20021018
JP 2005511557	T	20050428	JP 2003-539671	20021018
CN 1713907	A	20051228	CN 2002-820926	20021018
NZ 531942	A	20060929	NZ 2002-531942	20021018
ZA 2004003090	A	20050125	ZA 2004-3090	20040422
NO 2004001709	A	20040423	NO 2004-1709	20040423
IN 2004CN00863	A	20060113	IN 2004-CN863	20040423
US 2005096348	A1	20050505	US 2004-10899	20041213
PRIORITY APPLN. INFO.:			EP 2001-125338 A	20011026
			EP 2002-18227 A	20020821
			US 2002-269519 A3	20021014
			WO 2002-EP11711 W	20021018

OTHER SOURCE(S): MARPAT 138:368754
IT 521268-39-3P, (2S)-1-[[[2-[(8H-Indeno[1,2-d]thiazol-2-yl)amino]ethyl]amino]acetyl]pyrrolidine-2-carbonitrile hydrochloride 521268-55-3P, (2S)-1-[[[2-[(4,5,6,7-Tetrahydrobenzothiazol-2-yl)amino]ethyl]amino]acetyl]pyrrolidine-2-carbonitrile 521268-57-5P 521268-59-7P, (2S)-1-[[[1,1-Dimethyl-2-[(5-acetyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-yl)amino]ethyl]amino]acetyl]pyrrolidine-2-carbonitrile methanesulfonate 521268-62-2P, (2S)-1-[[[2-[(Benzothiazol-2-yl)amino]-1,1-dimethylethyl]amino]acetyl]pyrrolidine-2-carbonitrile 521268-64-4P, (2S)-1-[[[2-[(Benzothiazol-2-yl)amino]ethyl]amino]acetyl]pyrrolidine-2-carbonitrile 521268-65-5P, (2S)-1-[[[2-[(Benzoxazol-2-yl)amino]ethyl]amino]acetyl]pyrrolidine-2-carbonitrile 521268-66-6P, (2S)-1-[[[2-[(Benzoxazol-2-yl)amino]-1,1-dimethylethyl]amino]acetyl]pyrrolidine-2-carbonitrile 521268-67-7P, (2S)-1-[[[1,1-Dimethyl-2-[(1-methyl-1H-benzimidazol-2-yl)amino]ethyl]amino]acetyl]pyrrolidine-2-carbonitrile 521269-41-0P, (2S)-1-[[[1,1-Dimethyl-2-[(6-acetyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridine-2-yl)amino]ethyl]amino]acetyl]pyrrolidine-2-carbonitrile
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-aminoacetyl-substituted pyrrolidines as dipeptidyl peptidase IV inhibitors)
RN 521268-39-3 HCAPLUS

L7 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(8H-indeno[1,2-d]thiazol-2-ylamino)ethyl]amino]acetyl]-, hydrochloride, (2S)- (9CI) (CA INDEX NAME)

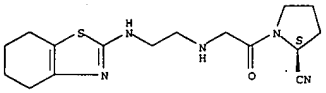
Absolute stereochemistry.



●x HCl

RN 521268-55-3 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-[(4,5,6,7-tetrahydro-2-benzothiazolyl)amino]ethyl]amino]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

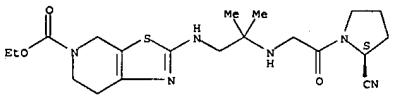


RN 521268-57-5 HCAPLUS
CN Thiazolo[5,4-c]pyridine-5(4H)-carboxylic acid, 2-[[2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-2-methylpropyl]amino]-6,7-dihydro-, ethyl ester, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 521268-56-4
CMF C20 H30 N6 O3 S

Absolute stereochemistry.



CM 2

L7 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

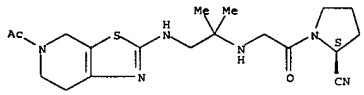
CRN 75-75-2
CMF C H4 O3 S

RN 521268-59-7 HCAPLUS
CN Thiazolo[5,4-c]pyridin-2-amine, 5-acetyl-N-[2-[[2-[(2S)-2-cyano-1-pyrrolidinyl]-2-oxoethyl]amino]-2-methylpropyl]-4,5,6,7-tetrahydro-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 521268-58-6
CMF C19 H28 N6 O2 S

Absolute stereochemistry.



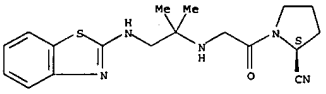
CM 2

CRN 75-75-2
CMF C H4 O3 S

RN 521268-62-2 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(2-benzothiazolylamino)-1,1-dimethylethyl]amino]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

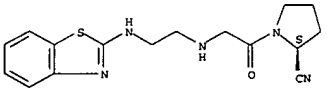
Absolute stereochemistry.

L7 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



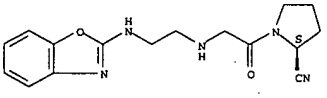
RN 521268-64-4 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(2-benzothiazolylamino)ethyl]amino]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



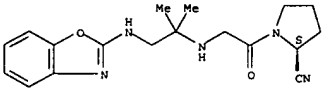
RN 521268-65-5 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(2-benzoxazolylamino)ethyl]amino]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 521268-66-6 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(2-benzoxazolylamino)-1,1-dimethylethyl]amino]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

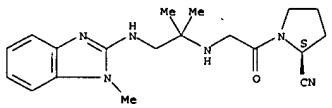
Absolute stereochemistry.



RN 521268-67-7 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[1,1-dimethyl-2-[(1-methyl-1H-benzimidazol-2-yl)amino]ethyl]amino]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

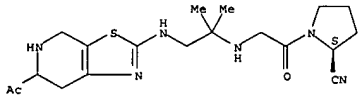
Absolute stereochemistry.

L7 ANSWER 12 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 521269-41-0 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-[(6-acetyl-4,5,6,7-tetrahydrothiazolo[5,4-c]pyridin-2-yl)amino]-1,1-dimethylethyl]amino]acetyl]-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 04 Oct 2002
AB The invention relates to compds. R1SO2NR2CHR3CH2CONHCHR4CH2C6H4R5-p [R1 = phenylvinyl, tetrahydronaphthyl, (un)substituted Ph, naphthyl, or certain heterocyclic radicals; R2 = H, alkyl and R3 = (un)substituted Ph or heterocyclyl or R2 = (un)substituted Ph or heterocyclyl and R3 = H; R4 = (thio)carbamoyl or acyl groups, (un)substituted Ph or heterocyclyl; R5 = CH2NR11R12 or CH2N(O)NR11R12, where R11, R12 = H, (cyclo)alkyl, hydroxyalkyl, etc.] which have an affinity for bradykinin receptors, with a selectivity for B1 receptors, and can be used to prepare medicaments used to treat or prevent persistent or chronic inflammatory diseases and inflammation pathologies. Thus, N-[1-(4-aminomethylbenzyl)-2-oxo-2-pyrrolidinoethyl]-3-(2-naphthalenylsulfonylamino)-3-phenylpropionamide (isolated as HCl salt) was prepared by coupling of 2-amino-3-(4-cyanophenyl)-1-pyrrolidino-1-propanone trifluoroacetate with -3-(2-naphthalenylsulfonylamino)-3-phenylpropionic acid, followed by reduction of the cyano group by hydrogenation over Raney Ni. Synthesis of starting compds. is described.
ACCESSION NUMBER: 2002:754370 HCAPLUS
DOCUMENT NUMBER: 137:279466
TITLE: Preparation of N-(arylsulfonyl)-β-amino acids having a substituted aminomethyl group and their pharmaceutical compositions
INVENTOR(S): Ferrari, Bernard; Gougat, Jean; Muneaux, Yvette; Perreaut, Pierre; Sarran, Lionel
PATENT ASSIGNEE(S): Sanofi-Synthelabo, Fr.
SOURCE: PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076964	A1	20021003	WO 2002-FR1059	20020327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2822827	A1	20021004	FR 2001-4315	20010328
FR 2822827	B1	20030516		
CA 2436225	A1	20021003	CA 2002-2436225	20020327
EE 200300417	A	20031215	EE 2003-417	20020327
EP 1373233	A1	20040102	EP 2002-724383	20020327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008489	A	20040330	BR 2002-8489	20020327

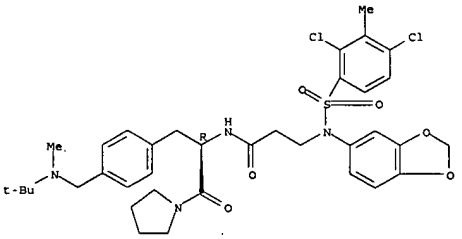
L7 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

ZA 2003006037	A	20040805	ZA 2003-6037	20020327
JP 2004525936	T	20040826	JP 2002-576224	20020327
CN 1541211	A	20041027	CN 2002-807539	20020327
HU 200401538	A2	20041129	HU 2004-1538	20020327
TW 233923	B	20050611	TW 2002-91106017	20020327
NZ 527429	A	20050930	NZ 2002-527429	20020327
US 2004116353	A1	20040617	US 2003-472674	20030918
US 7157454	B2	20070102		
NO 2003004267	A	20031128	NO 2003-4267	20030924
BG 108201	A	20040930	BG 2003-108201	20030925

PRIORITY APPLN. INFO.: FR 2001-4315 A 20010328
WO 2002-FR1059 W 20020327

OTHER SOURCE(S): MARPAT 137:279466
IT 464929-82-6P 464930-11-8P 464930-36-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(arylsulfonyl)-β-amino acids as pharmaceuticals)
RN 464929-82-6 HCAPLUS
CN Propanamide, 3-[1,3-benzodioxol-5-yl[(2,4-dichloro-3-methylphenyl)sulfonyl]amino]-N-[(1R)-1-[[4-[[(1,1-dimethylethyl)methylamino]methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

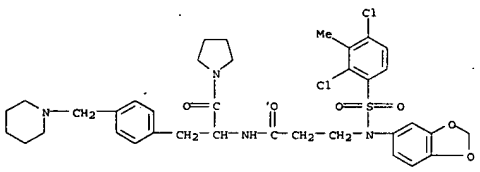
Absolute stereochemistry. Rotation (-).



● HCl

RN 464930-11-8 HCAPLUS
CN Propanamide, 3-[1,3-benzodioxol-5-yl[(2,4-dichloro-3-methylphenyl)sulfonyl]amino]-N-[2-oxo-1-[[4-(1-piperidinylmethyl)phenyl]methyl]-2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

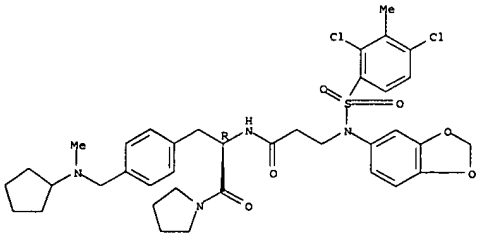
L7 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

RN 464930-36-7 HCAPLUS
CN Propanamide, 3-[1,3-benzodioxol-5-yl[(2,4-dichloro-3-methylphenyl)sulfonyl]amino]-N-[1-[[4-[(cyclopentylmethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



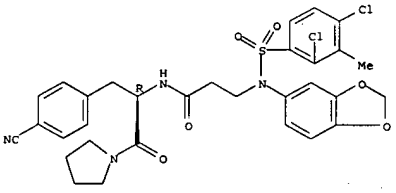
● HCl

IT 464931-54-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(arylsulfonyl)-β-amino acids as pharmaceuticals)
RN 464931-54-2 HCAPLUS
CN Propanamide, 3-[1,3-benzodioxol-5-yl[(2,4-dichloro-3-

L7 ANSWER 13 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

methylphenyl)sulfonyl]amino]-N-[(1R)-1-[(4-cyanophenyl)methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 13 Sep 2002
AB Due to its role in regulating the cell cycle, Cdc25 (a family of dual specificity phosphatases) is a potential target for therapies aimed at controlling proliferative diseases, but rational, structure-based design has not been possible because of the lack of accurate 3-dimensional data. The present invention relates to polypeptides which comprises the ligand binding domain of human Cdc25 proteins, crystalline forms of these polypeptides, and the use of these crystalline forms to determine the 3-dimensional structure of the catalytic domain of Cdc25. In particular, a high resolution crystal structure was obtained for the polypeptide denoted CDC25B(ΔN8B), comprising residues Glu-368 through Arg-562 of human Cdc25B, complexed with a pentapeptide inhibitor denoted cdc1249 (2-methoxynaphthyl-1-carboxy-(4-sulfomethyl)-L-Phe-L-Glu-L-Glu-L-naphthylalanine-L-Glu-amide). The invention also relates to the use of the 3-dimensional structure of the Cdc25 catalytic domain in methods of designing and/or identifying potential inhibitors of Cdc25 activity, for example, compds. which inhibit the binding of a native substrate to the Cdc25 catalytic domain. The syntheses and structures of a large number of putative pentapeptide inhibitors are also provided. Such inhibitors have potential in the treatment of diseases associated with excessive cellular proliferation, such as cancer, restenosis, reocclusion of coronary artery, and inflammation.
ACCESSION NUMBER: 2002:696111 HCAPLUS
DOCUMENT NUMBER: 137:228607
TITLE: Crystal structure and three-dimensional structure of human Cdc25 catalytic domains and its use in designing peptidomimetic inhibitors
INVENTOR(S): Taylor, Neil R.; Borhani, David; Epstein, David; Rudolph, Johannes; Ritter, Kurt; Fujimori, Taro; Robinson, Simon; Eckstein, Jens; Haupt, Andreas; Walker, Nigel; Dixon, Richard W.; Choquette, Deborah; Blanchard, Jill; Kluge, Arthur; Pal, Kollol; Bockovich, Nicholas; Come, Jon; Hediger, Mark .
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany; GPC Biotech Inc.
SOURCE: PCT Int. Appl., 351 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070680	A1	20020912	WO 2001-US6587	20010301

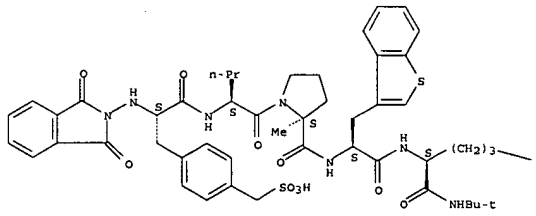
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN,

L7 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: WO 2001-US6587 20010301

OTHER SOURCE(S): MARPAT 137:228607
IT 457888-93-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(crystal structure and three-dimensional structure of human Cdc25 catalytic domains and its use in designing peptidomimetic inhibitors)
RN 457888-93-6 HCAPLUS
CN L-Norvalinamide, N-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)-4-(sulfomethyl)-L-phenylalanyl-L-norvalyl-2-methyl-L-prolyl-3-benzo[b]thien-3-yl-L-alanyl-5-carboxy-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

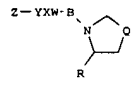


PAGE 1-B

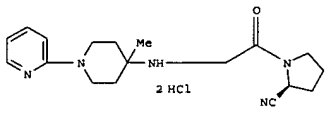
L7 ANSWER 14 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 05 Jul 2002
GI



I



II

AB Title compds. [I; Q = CH2, S; R = H, (S)-CN; B = CH2CO, COCH2, CO; YXW = NHCH2CH2NH, NH(CH2)3NH, NHCH2C(CH3)2NH, 1-(4-methyl-piperidine-4-amino)-yl, 1-(1-aminomethylcyclopropyl)amino, 4-NHCH2C6H4CH2NH, N(CH3)CH2CH2N(CH3), 1,4-piperazinyl, 1-piperidinyl-4-amino, N(CH3)CH2C(CH3)2NH; Z = optionally substituted 1-pyrrolidinyl, optionally substituted 3-thiazolidinyl, optionally substituted 1-oxo-3-thiozolidinyl, etc.] and pharmacol. acceptable salts of title compds. are prepared as dipeptidyl peptidase IV inhibitors. Title compds. are useful as antidiabetics, antiaids agents, antiarteriosclerosis, antihyperglycinemia agents, and as remedies for hyperglycinemia, hyperinsulinism, etc. in combination with related remedies as GI-262570, KAD1229, etc. Thus, the title compound II was prepared and in vivo tested for DPP-IV inhibition with IC50 = 11 nmol/L.
ACCESSION NUMBER: 2002:504782 HCAPLUS
DOCUMENT NUMBER: 137:78968
TITLE: Preparation of aminocarbonylpyrrolidine derivatives as dipeptidyl peptidase IV inhibitors
INVENTOR(S): Matsuno, Kenji; Ueno, Kimihisa; Iwata, Yasuhiro; Matsumoto, Yuichi; Nakanishi, Satoshi; Takasaki, Kotaro; Kusaka, Hideaki; Nomoto, Yuji; Ogawa, Akira
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 196 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

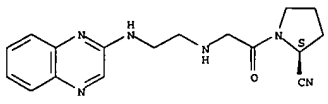
L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051836	A1	20020704	WO 2001-JP11578	20011227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2433090	A1	20020704	CA 2001-2433090	20011227
EP 1354882	A1	20031022	EP 2001-271892	20011227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004180925	A1	20040916	US 2003-465919	20031110
PRIORITY APPLN. INFO.:			JP 2000-398441 A	20001227
			JP 2001-261409 A	20010830
			WO 2001-JP11578 W	20011227

OTHER SOURCE(S): MARPAT 137:78968
IT 440099-71-8P 440099-73-0P 440099-75-2P
440099-77-4P 440099-78-5P 440099-79-6P
440099-80-9P 440099-81-0P 440099-82-1P
440100-28-7P 440100-30-1P 440100-31-2P
440100-33-4P 440100-78-7P 440100-80-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocarbonylpyrrolidine derivs. as dipeptidyl peptidase IV
inhibitors)
RN 440099-71-8 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(2-quinoxalinylamino)ethyl]amino]acetyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



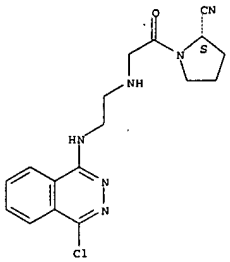
●2 HCl

RN 440099-73-0 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-[(4-chloro-1-phthalazinyl)amino]ethyl]amino]acetyl]-, (2S)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 440099-72-9
CMF C17 H19 Cl N6 O

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

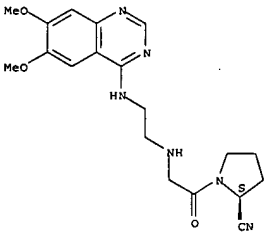
L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 440099-75-2 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-[(6,7-dimethoxy-4-quinazolinyl)amino]ethyl]amino]acetyl]-, (2S)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 440099-74-1
CMF C19 H24 N6 O3

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S

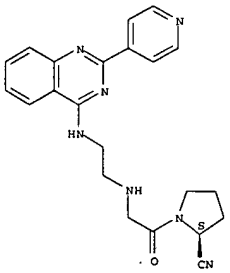
RN 440099-77-4 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-[[2-(4-pyridinyl)-4-quinazolinyl]amino]ethyl]amino]acetyl]-, (2S)-, dimethanesulfonate (9CI) (CA INDEX NAME)

CM 1

L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

CRN 440099-76-3
CMF C22 H23 N7 O

Absolute stereochemistry.

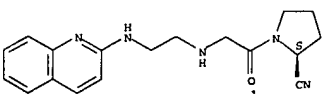


CM 2

CRN 75-75-2
CMF C H4 O3 S

RN 440099-78-5 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(2-quinolinylamino)ethyl]amino]acetyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

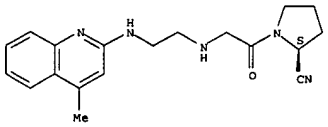


●2 HCl

L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 440099-79-6 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-[(4-methyl-2-quinolinyl)amino]ethyl]amino]acetyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

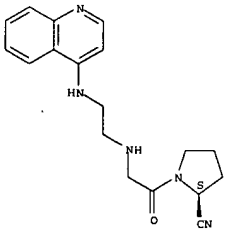
Absolute stereochemistry.



●2 HCl

RN 440099-80-9 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(4-quinolinylamino)ethyl]amino]acetyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

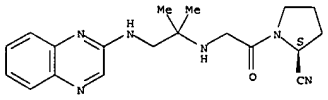
Absolute stereochemistry.



●2 HCl

RN 440099-81-0 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(1-isoquinolinylamino)ethyl]amino]acetyl

L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



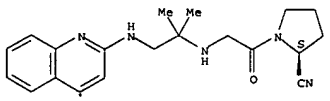
●2 HCl

RN 440100-30-1 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[1,1-dimethyl-2-(2-quinolinylamino)ethyl]amino]acetyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 440100-29-8
CMF C20 H25 N5 O

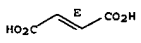
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

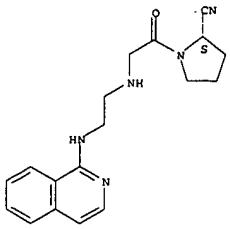


RN 440100-31-2 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(1-isoquinolinylamino)-1,1-dimethylethyl]amino]acetyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

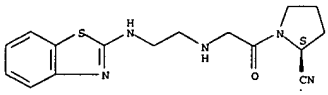
Absolute stereochemistry.



●2 HCl

RN 440099-82-1 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-(2-benzothiazolylamino)ethyl]amino]acetyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

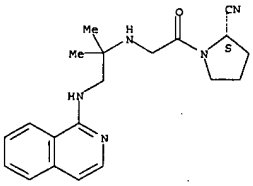


●2 HCl

RN 440100-28-7 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[1,1-dimethyl-2-(2-quinoxalinylamino)ethyl]amino]acetyl]-, dihydrochloride, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



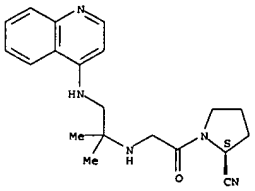
●2 HCl

RN 440100-33-4 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[1,1-dimethyl-2-(4-quinolinylamino)ethyl]amino]acetyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 440100-32-3
CMF C20 H25 N5 O

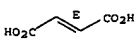
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

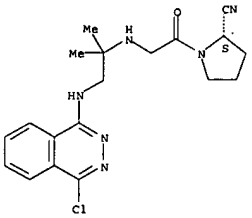


L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
RN 440100-78-7 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[2-[(4-chloro-1-phthalazinyl)amino]-1,1-dimethylethyl]amino]acetyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 440100-77-6
CMF C19 H23 Cl N6 O

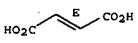
Absolute stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



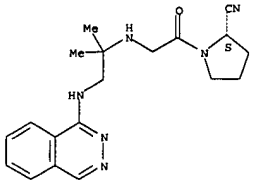
RN 440100-80-1 HCAPLUS
CN 2-Pyrrolidinecarbonitrile, 1-[[[1,1-dimethyl-2-(1-phthalazinylamino)ethyl]amino]acetyl]-, (2S)-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 440100-79-8
CMF C19 H24 N6 O

Absolute stereochemistry.

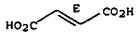
L7 ANSWER 15 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

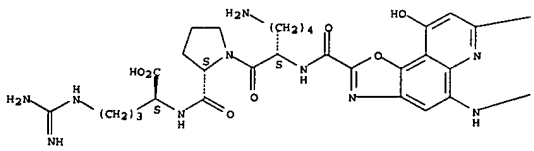


REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 12 May 2000
AB The reaction product of the tetrapeptide tuftsin (sequence TKPR) with 3-hydroxykynurenine (3HK) was examined and evidence was presented that the mechanism of formation of a benzoxazole cross-linked peptide dime by 3HK was not restricted to a glycyl N-terminus. This result suggested that 3HK can react with any peptide that has a free N-terminus, regardless of the identity of the amino acid (except proline). This finding suggests that the ubiquity of this cross-link in disease states such as cataract is potentially much greater than previously thought.
ACCESSION NUMBER: 2000:309265 HCAPLUS
DOCUMENT NUMBER: 133:150877
TITLE: A general mechanism of polypeptide cross-linking by 3-hydroxykynurenine
AUTHOR(S): Aquilina, J. A.
CORPORATE SOURCE: Australian Cataract Research Foundation, University of Wollongong, New South Wales, 2500, Australia
SOURCE: Redox Report (1999), 4(6), 323-325
CODEN: RDRPE4; ISSN: 1351-0002
PUBLISHER: Maney Publishing
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 133:150877
IT 287184-63-8P.
RL: SPN (Synthetic preparation); PREP (Preparation)
(evidence of a general mechanism of polypeptide crosslinking by hydroxykynurenine)
RN 287184-63-8 HCAPLUS
CN L-Arginine, N-(2,7-dicarboxy-9-hydroxyoxazolo[5,4-f]quinolin-5-yl)-L-threonyl-L-lysyl-L-prolyl-, (12→1'2)-amide with L-lysyl-L-prolyl-L-arginine (9CI) (CA INDEX NAME)

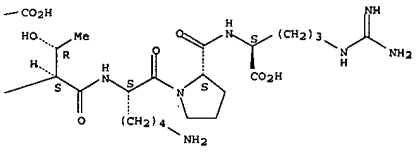
Absolute stereochemistry.

PAGE 1-A



L7 ANSWER 16 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-B



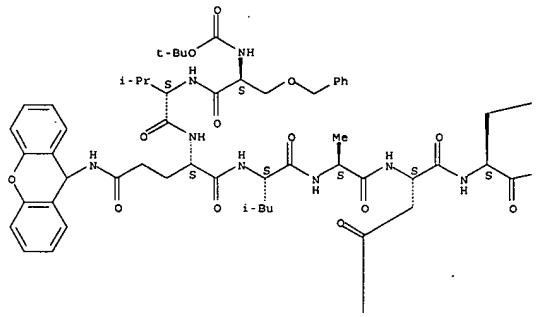
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L7 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 25 Nov 1998
AB The present paper describes the total chemical synthesis of the precursor mol. of the Aequorea green fluorescent protein (GFP). The mol. is made up of 238 amino acid residues in a single polypeptide chain and is nonfluorescent. To carry out the synthesis, a procedure, first described in 1981 for the synthesis of complex peptides, was used. The procedure is based on performing segment condensation reactions in solution while providing maximum protection to the segment. The effectiveness of the procedure has been demonstrated by the synthesis of various biol. active peptides and small proteins, such as human angiogenin, a 123-residue protein analog of RNase A, human midkine, a 121-residue protein, and pleiotrophin, a 136-residue protein analog of midkine. The GFP precursor mol. was synthesized from 26 fully protected segments in solution, and the final 238-residue peptide was treated with anhydrous HF to obtain the precursor mol. of GFP containing, two Cys(acetamidomethyl) residues. After removal of the acetamidomethyl groups, the product was dissolved in 0.1 M Tris·HCl buffer (pH 8.0) in the presence of DTT. After several hours at room temperature, the solution began to emit a green fluorescence (λmax = 509 nm) under near-UV light. Both fluorescence excitation and fluorescence emission spectra were measured and were found to have the same shape and maxima as those reported for native GFP. The present results demonstrate the utility of the segment condensation procedure in synthesizing large protein mols. such as GFP. The result also provides evidence that the formation of the chromophore in GFP is not dependent on any external cofactor.
ACCESSION NUMBER: 1998:745286 HCAPLUS
DOCUMENT NUMBER: 130:110638
TITLE: Chemical synthesis of the precursor molecule of the Aequorea green fluorescent protein, subsequent folding, and development of fluorescence
AUTHOR(S): Nisiuchi, Yuji; Inui, Tatsuya; Nishio, Hideki; Bodi, Jozsef; Kimura, Terutoshi; Tsuji, Frederick T.; Sakakibara, Shumpei
CORPORATE SOURCE: Protein Res. Found., Peptide Inst., Minoh-shi, Osaka, 562, Japan
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1998), 95(23), 13549-13554
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 219541-85-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(chemical synthesis of the precursor mol. of the Aequorea green fluorescent protein, subsequent folding, and development of fluorescence)
RN 219541-85-2 HCAPLUS

L7 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CN L-Proline, N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-seryl-L-valyl-N-9H-xanthen-9-yl-L-glutaminyl-L-leucyl-L-alanyl-L-α-aspartyl-1-[(phenylmethoxy)methyl]-L-histidyl-O-(1-ethylpropyl)-L-tyrosyl-N-9H-xanthen-9-yl-L-glutaminyl-N-9H-xanthen-9-yl-L-glutaminyl-N-9H-xanthen-9-yl-L-asparaginyl-O-(phenylmethyl)-L-threonyl-, 6-cyclohexyl ester (9CI) (CA INDEX NAME)

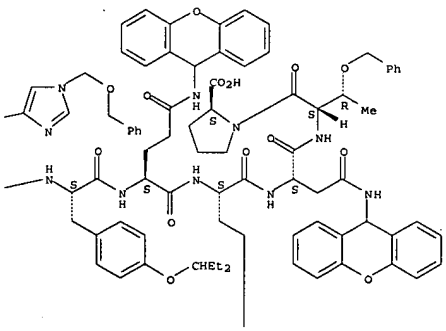
Absolute stereochemistry.

PAGE 1-A



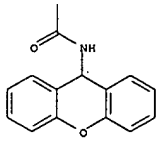
L7 ANSWER 17 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-B



PAGE 2-A

PAGE 2-B



REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN

AB A series of new spiroglumide amido acid deriva. was synthesized and evaluated for their ability to inhibit the binding of cholecystokinin (CCK) to guinea pig brain cortex (CCKB receptors) and peripheral rat pancreatic acini (CCKA receptors), as well as to inhibit in vitro the gastrin-induced Ca2+ increase in rabbit gastric parietal cells. Appropriate chemical manipulations of the structure of spiroglumide (CR 2194), i.e., (R)-4-(3,5-dichlorobenzamido)-5-(8-azaspiro[4.5]decan-8-yl)-5-oxopentanoic acid, led to potent and selective antagonists of CCKB/gastrin receptors. Structure-activity relationships are discussed. Some of these new deriva., as, for example, compound 54 (CR 2622), i.e., (S)-4-[[(R)-4'-[(3,5-dichlorobenzoyl)amino]-5'-(8-azaspiro[4.5]decan-8-yl)-5'-oxo-pentanoyl]amino]-5-(1-naphthylamino)-5-oxopentanoic acid, exhibit activity 70-170 times greater than that of spiroglumide, depending upon the model used (IC50 = 2+10-8 vs. 140+10-8 mol in binding inhibition of [3H]-N-Me-N-Le-UCCK-8 in guinea pig brain cortex and IC50 = 0.7+10-8 vs. 122.3+10-8 mol in inhibition of gastrin-induced Ca2+ mobilization in parietal cells of rabbit, resp.). Computer-assisted conformational anal. studies were carried out to compare the chemical structure of both the agonist (pentagastrin) and the antagonist (54).

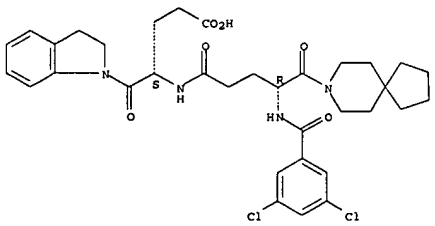
ACCESSION NUMBER: 1995:982948 HCAPLUS
DOCUMENT NUMBER: 124:21030
TITLE: Structure-Antigastrin Activity Relationships of New Spiroglumide Amido Acid Derivatives
AUTHOR(S): Makovec, Francesco; Peris, Walter; Frigerio, Sandra; Giovanetti, Roberto; Letari, Ornella; Mennuni, Laura; Revel, Laura
CORPORATE SOURCE: Rotta Research Laboratorium, Milan, 20052, Italy
SOURCE: Journal of Medicinal Chemistry (1996), 39(1), 135-42
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 124:21030

IT 171202-85-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-activity relationships of new spiroglumide amido acid deriva. as antagonists of CCK/gastrin receptors)

RN 171202-85-0 HCAPLUS
CN 1H-Indole-1-pentanoic acid, γ-[[5-(8-azaspiro[4.5]dec-8-yl)-4-[(3,5-dichlorobenzoyl)amino]-1,5-dioxopentyl]amino]-2,3-dihydro-δ-oxo-, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

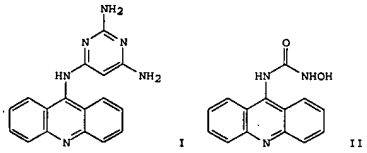
L7 ANSWER 18 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



L7 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN

ED Entered STN: 02 Mar 1993

GI



I

II

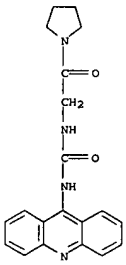
AB Condensation of 9-acridinamine with 6-chloro-2,4-pyrimidinediamine gave the (acridinylamino)pyrimidinediamine I (90% yield). Reaction of Me (9-acridinyl)carbamate with hydroxylamine hydrochloride gave the acridinyl(hydroxy)urea II 95% yield). The cytotoxic activity of I and II was tested against Ehrlich ascites tumor cells.

ACCESSION NUMBER: 1993:80888 HCAPLUS
DOCUMENT NUMBER: 118:80888
TITLE: Synthesis of certain 9-(substituted amino)acridines as potential antitumor agents
AUTHOR(S): Youssef, Khairia M.; El-Badry, Ossama M.; Abdou, Nadia A.; Kandell, Manal M.
CORPORATE SOURCE: Fac. Pharm., Cairo Univ., Cairo, Egypt
SOURCE: Alexandria Journal of Pharmaceutical Sciences (1992), 6(2), 168-71
CODEN: AJPSES; ISSN: 1110-1792
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:80888

IT 145704-25-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 145704-25-2 HCAPLUS
CN Pyrrolidine, 1-[[[(9-acridinylamino)carbonyl]amino]acetyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 19 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)

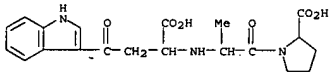


L7 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN
ED Entered STN: 12 May 1984
AB RZXZ1CR1(YR2)NR3CHR4CONR5CR6R7Y1R8 [R = aryl, heterocyclic group, Z = bond; R = aryl, heterocyclic group, H, halo, OH, NH2, guanidino, SH, CO2H, CONH2, or their substituted derivs., Z = C1-15 alkylene, C2-15 alkenylene, C3-15 cycloalkylene, C3-15 cycloalkenylene; X = CO, CH(OH), or their substituted derivs.; Z1 = alkylene, alkenylene, alkylidene; R1 = H, alkyl, aralkyl, YR2; Y, Y1 = CO, CH2; R2, R8 = OH, NH2, or their substituted derivs.; R3 = H, alkyl, carbonyl-containing group; R4 = H, (un)substituted alkyl; R5 = H, alkyl, aralkyl; R6 = H, aryl, heterocyclic group, alkyl, aralkyl, hydroxyalkyl, heterocyclic-substituted alkyl; R5R6 = C2-5 alkylene or alkenylene or their oxa, thia, or aza derivs. or their OH- or oxo-substituted derivs.; R7 = H, alkyl, Y1R8; R6R7 = C2-5 alkylene] were prepared as antihypertensives due to their ability to inhibit angiotensin-converting enzyme (no data). Thus, H-Ala-Pro-OCMe3 was treated with trans-PhCOCH:CHCO2CMe3 in CH2Cl2 for 18 h to give PhCOCH2CH(CO2CMe3)-Ala-Pro-OCMe3, which was deblocked by CF3CO2H to give PhCOCH2CH(CO2H)-Ala-Pro-OH·CF3CO2H.
ACCESSION NUMBER: 1984:23015 HCAPLUS
DOCUMENT NUMBER: 100:23015
TITLE: Amide derivatives
INVENTOR(S): Preston, John; Carling, William Robert
PATENT ASSIGNEE(S): Imperial Chemical Industries PLC, UK
SOURCE: Eur. Pat. Appl., 92 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 84941	A1	19830803	EP 1983-300169	19830113
EP 84941	B1	19870311		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AU 8310341	A	19830728	AU 1983-10341	19830113
AU 563149	B2	19870702		
AT 25850	T	19870315	AT 1983-300169	19830113
ZA 8300273	A	19831026	ZA 1983-273	19830114
HU 27395	A2	19831028	HU 1983-163	19830119
HU 189637	B	19860728		
US 4528282	A	19850709	US 1983-459143	19830119
FI 8300186	A	19830723	FI 1983-186	19830120
DK 8300238	A	19830723	DK 1983-238	19830121
NO 8300203	A	19830725	NO 1983-203	19830121
JP 58134075	A	19830810	JP 1983-7516	19830121
ES 525684	A1	19850701	ES 1983-525684	19830916
ES 525685	A1	19850701	ES 1983-525685	19830916

PRIORITY APPLN. INFO.: GB 1982-1832 A 19820122
EP 1983-300169 A 19830113

L7 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)



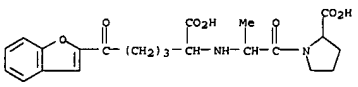
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 88098-54-8 HCAPLUS
CN L-Proline, 1-[N-[5-(2-benzofuranyl)-1-carboxy-5-oxopentyl]-L-alanyl]-, (S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 88098-53-7
CMF C22 H26 N2 O7



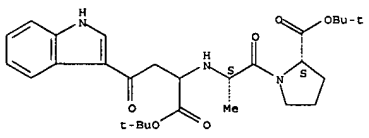
CM 2

CRN 76-05-1
CMF C2 H F3 O2

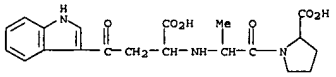
RN 88098-75-3 HCAPLUS
CN L-Proline, 1-[N-[1,1-bis[(1,1-dimethylethoxy)carbonyl]-4-(1H-indol-3-yl)-4-oxobutyl]-L-alanyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

L7 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 100:23015
IT 88098-19-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
RN 88098-19-5 HCAPLUS
CN L-Proline, 1-[N-[1-[(1,1-dimethylethoxy)carbonyl]-3-(1H-indol-3-yl)-3-oxopropyl]-L-alanyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 88098-20-8P 88098-21-9P 88098-54-8P
88098-75-3P 88098-84-4P 88122-41-2P
88196-62-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 88098-20-8 HCAPLUS
CN L-Proline, 1-[N-[1-carboxy-3-(1H-indol-3-yl)-3-oxopropyl]-L-alanyl]-(9CI)
(CA INDEX NAME)

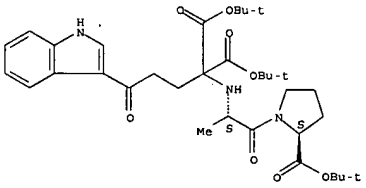


RN 88098-21-9 HCAPLUS
CN L-Proline, 1-[N-[1-carboxy-3-(1H-indol-3-yl)-3-oxopropyl]-L-alanyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

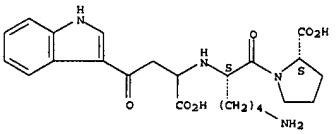
CRN 88098-20-8
CMF C20 H23 N3 O6

L7 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
Absolute stereochemistry.



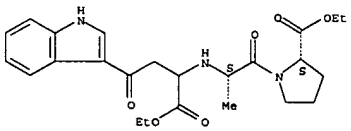
RN 88098-84-4 HCAPLUS
CN L-Proline, 1-[N2-[1-carboxy-3-(1H-indol-3-yl)-3-oxopropyl]-L-lysyl]-(9CI)
(CA INDEX NAME)

Absolute stereochemistry.



RN 88122-41-2 HCAPLUS
CN L-Proline, 1-[N-[1-(ethoxycarbonyl)-3-(1H-indol-3-yl)-3-oxopropyl]-L-alanyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

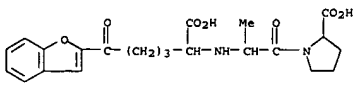


RN 88196-62-7 HCAPLUS
CN L-Proline, 1-[N-[5-(2-benzofuranyl)-1-carboxy-5-oxopentyl]-L-alanyl]-, (R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 88196-61-6

L7 ANSWER 20 OF 20 HCAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CMP C22 H26 N2 O7



CM 2

CRN 76-05-1
CMF C2 H F3 O2